INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 102399 TO

111161 PROJECTED ANSWERS: 47 TO

L4 5 SEA SSS SAM L3

=> s 13 full

FULL SEARCH INITIATED 06:21:52 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 107216 TO ITERATE

100.0% PROCESSED 107216 ITERATIONS 220 ANSWERS

SEARCH TIME: 00.00.01

220 SEA SSS FUL L3 L5

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 177.50 177.71

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FILE COVERS 1907 - 30 Jul 2007 VOL 147 ISS 6 FILE LAST UPDATED: 29 Jul 2007 (20070729/ED)

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http://www.cas.org/infopolicy.html

=> s 15

27 L5 L6

=> file caplus

SINCE FILE COST IN U.S. DOLLARS TOTAL ENTRY SESSION

0.47 178.18 FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 06:22:23 ON 30 JUL 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 30 Jul 2007 VOL 147 ISS 6 FILE LAST UPDATED: 29 Jul 2007 (20070729/ED)

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---Logging off of STN---

END

Unable to generate the STN prompt. Exiting the script...

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Unable to generate the STN prompt. Exiting the script...

---Logging off of STN---

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Unable to generate the STN prompt. Exiting the script...

END

Unable to generate the STN prompt. Exiting the script...

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID: ssptaylc1626

PASSWORD:

THIS LOGINID IS CURRENTLY IN USE. DO YOU WISH TO RESUME THE PREVIOUS SESSION? Y/(N)/?:Y

THE PREVIOUS SESSION IS BEING DISCONNECTED.

PLEASE LOG IN AGAIN TO BE RECONNECTED.

SYSTEM LOGOFF AT 06:30:19 ON 30 JUL 2007 US EASTERN TIME

Connection closed by remote host

A new logon attempt will be made when this window closes. If you chose to RESUME PREVIOUS SESSION, then continue with the logon process as normal. If not, choose Cancel or <ESC> to interrupt the logon process.

FILE COVERS 1907 - 30 Jul 2007 VOL 147 ISS 6 FILE LAST UPDATED: 29 Jul 2007 (20070729/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> d ibib abs tot

L6 ANSWER 1 OF 27 CAPLUS OPPRIGHT 2007 ACS on STN ACCESSION NUMBER: 2005:1026833 CAPLUS Full-text

DOCUMENT NUMBER: 1/43:326090

TITLE:

Preparation of arylmethoxyphenyl-alkylcarboxylic acids and related derivatives for use in treating metabolic

disorders

INVENTOR(S):

Akerman, Michelle; Houze, Jonathan; Lin, Daniel C. H.; Liu, Jiwen; Luo, Jian; Medina, Julio C.; Qiu, Wei; Reagan, Jeffrey D.; Sharma, Rajiv; Shuttleworth, Stephen J.; Sun, Ying; Zhang, Jian; Zhu, Liusheng

Amgen Inc., USA; et al.

PATENT ASSIGNÉE(S): SOURCE:

PCT Int. Appl., 163 pp.

bookes.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

OTHER SOURCE(S):

P.	PATENT NO.					APPLICATION NO.					DATE					
WC	20050866													0050	224	
WC	200508,66	61		A3	200	60504										
	W: Æ,	AG,	AL,	AM,	AT, AU	J, AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
	· CN,	CO,	CR,	CU,	CZ, DE	, DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
	GE,	GH,	GM,	HR,	HU, II), IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,	LC,	
	LK.,	LR,	LS,	LT,	LU, LV	, MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
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MARPAT 143:326090

AB Title compds. Q-L1-P-L2-M-X-L3-A [Q = H, (hetero)aryl, alkyl, etc.; L1 = bond, alkylene, heteroalkylene, O, etc.; P = (hetero)arom., cycloalkylene, etc.; L2 = bond, alkylene, heteroalkylene, etc.; M = (hetero)arom., cycloalkylene, arylalkylene, etc.; X = divalent alkyl, (un)substituted-N; O, SOO-2; L3 = bond, alkylene, heteroalkylene, etc.; A = COOH, tetrazolyl, SO3H, PO3H2, etc.; I] are prepd. For instance, (S)-3-[4-((4'-trifluoromethyl-1,1'-biphenyl-3-yl)methoxy)phenyl]hexan-4-ynoic acid (II) is prepd. in 5 steps from (S)-3-(4-hydroxyphenyl)hexan-4-ynoic acid Me ester (prepn. given), 4- (trifluoromethyl)phenylboronic acid and 3-bromobenzoic acid. II has an EC50 < 0.1 .mu.M for human G protein-coupled receptor GPR40. I are useful for the treatment of type II diabetes.

L6 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2005:531809 CAPLUS Full-text

DOCUMENT NUMBER: 144:182162

TITLE: Sypthesis, characterization of some

// (2-hydroxy-phenyl) -3-(1-phenyl-3-thiophen-2-yl-1Hpyrazol-4-yl) -propenone, 3-chloro-2-(1-phenyl-3-thiophen-2-yl-1H-pyrazol-4-yl) -chromon-4-one, and 2-(1'-phenyl-3'-thiophen-2-yl-3,4-dihydro-2H,1H'-

[3,4]bipyrazol-5-yl)-phenol

AUTHOR(S): / Halnor, V. B.; Joshi, N. S.; Karale, B. K.; Gill, C.

Η.

CORPORATE SOURCE: P.G. Dept. of Chemistry, S.S.G.M. College, Kopargaon,

423 601, India

SOURCE: / Heterocyclic Communications (2005), 11(2), 167-172

CODEN: HCOMEX; ISSN: 0793-0283 Freund Publishing House Ltd.

PUBLISHER: Freund Publ DOCUMENT TYPE: Journal

LANGUAGE: English

L6

OTHER SOURCE(S): CASREACT 144:192162

Base catalyzed condensation of 2-hydroxyacetophenones with thiophenylpyrazolylaldehyde gives compds., 1-(3,4,5-substituted-2-hydroxy-phenyl)-3-(1-phenyl-3-thiophen-2-yl-1H-pyrazol-4-yl)-propenones. The propenone compds. on oxidative cyclization with DMSO-CuCl2 gives 3-chloro-2-(1-phenyl-3-thiophen-2-yl-1H-pyrazol-4-yl)-chromon-4-ones. The propenone compds. on condensation with hydrazine hydrate gives 2-(1'-phenyl-3'-thiophen-2-yl-3,4-dihydro-2H,1H'-[3,4]bipyrazol-5-yl)- phenol 5. The products 3, 4 and 5 were characterized by IR, 1H NMR and mass spectroscopy.

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2005:395278 CAPLUS Full-text DOCUMENT NUMBER: 142:447209 Preparation of .alpha.-hydroxyimino-.beta-TITLE: benzylpropanoate derivatives as PPAR gamma. and PPAR.alpha. agonists for the treatment of diabetes mellitus and inflammation diseases Kim, Geun Tae; Koh, Jong Sung; Han, Hee Oon; Kim, INVENTOR(S): Seung Hae; Kim, Kyoung-Wee; Chung, Hee-Kyung; Kim, Yeon Chul; Kim, Misur; Koo, Ki Dong; Yim, Hyeon Joo; Hur, Gwong-Cheung, Lee, Sun Hwa; Lee, Chang-Seok; Woo, Sung Ho PATENT ASSIGNEE(S): LG Life Sciences Ltd., S. Korea PCT Int. Appl., 211 pp. SOURCE: CODEN: PIXXD2 Patent DOCUMENT TYPE: English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. APPLICATION NO. KIND DATE WO 2005040127 20050506 WO 2004-KR2729 **A**1 20041027 /AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

ΙI

KR 2005040746
PRIORITY APPLN. INFO.:

20050503

KR 2004-86055

20041027 20031027

KR 2003-75037 KR 2003-75041 A 20031027 A 20031027

KR 2003-75046

A 20031027

OTHER SOURCE(S):

MARPAT 142:447209

GI

AB Title compds. I [wherein A = (un) substituted (cyclo) alkyl, (hetero) aryl, amine, amido, alkoxy, sulfonyl or sulfanyl; B, D, X = H or alkyl; E = H, alkyl or aryl; and pharmaceutically acceptable nontoxic salts, physiol. hydrolyzable esters, hydrates, solvates, isomers or prodrugs thereof] were prepd. as agonists of peroxisome proliferator-activated receptor gamma (PPAR.gamma.) and alpha (PPAR.alpha.). For example, II was synthesized via etherification of the corresponding phenol (prepn. given) with methanesulfonate ester of the pyrazolemethanol (prepn. given) in 40% yiel I were found to be very effective for accelerating the activity of PPAR.gamma. and PPARa with EC50 values of <1 .mu.M and <1000 nM (<100 nM for II), resp. Therefore, I are useful for treating or preventing PPAR.gamma. - and PPARa-related diseases, such as diabetes mellitus, its complications and inflammation.

REFERENCE COUNT: THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 27 CAPLUS COPYRIGHT .2007 ACS on STN ACCESSION NUMBER: 2004:995925 CAPLUS Full-text

DOCUMENT NUMBER: 141:424182

TITLE: Preparation of pyrazole-amine compounds useful as

kinase inhibitors

INVENTOR(S): Dyckman, Alaric; Das, Jagabandhu; Leftheris, Katerina;

Liu, Chunjian; Moguin, Robert V.; Wrobleski, Stephen

PATENT ASSIGNÉE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

. P	PATENT NO.					KIND DATE			APPLICATION NO				. 01	DATE					
-					•		-							·					
		2004									WO 2	004-	US13'	786		20	0040	503	
W	10	2004																	
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
			LK.	LR.	LS.	LT.	LU.	LV,	MA.	MD.	MG.	MK.	MN.	MW.	MX.	MZ.	NA.	NI.	
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			SN,	TD,	TG							•							
U	JS	2004	24889	53		A1		2004	1209		US 2	004-	8380	06		20	040	503	
U	JS	7151	113			B2		2006	1219		•								
U	JS	2005	0041	76		A 1		2005	0106		US 2	004-	8377	78		20	0040	503	
U	JS	2005	15942	24		A 1		2005	0721		US 2	004-	8381	29		20	0040	50'3	
		1620				A2		2006									0040	503	
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PRIORITY APPLN. INFO.:									US 2										
OTHER SOURCE(S):					WO 2004-US13786 W 20040503 MARPAT 141:424182														

The title compds. I [G = Ph, pyridyl; W = CH2O, CO2, NHCHR8, CHR8NH, NHCO(CHR8)r (wherein R8 = H, alkyl; r = 0-2); R1 = H, (un)substituted alkyl, aryl, etc.; R2 = H, (un)substituted alkyl, alkoxy, etc.; R3 = H, CF3, OCF3, etc.; R4 = H, (un)substituted alkyl, halo, etc.; R5 = CF3, OCF3, CN, etc.; X = CONH, NHCO, NHCO2, SO2NH, CO2, or is absent; R6 = H, (un)substituted alkyl, alkoxy, etc.; m = 0-3], useful for treating p38 kinase-assocd. conditions (such as inflammatory disorder)in a mammal (no data), were prepd. E.g., a 3-step synthesis of II, starting from 1-phenyi-5-propyl-1H-pyrazole-4-carbonyl chloride, was given.

L6 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:963181 CAPLUS Full-text

DOCUMENT NUMBER: 141:379941

TITLE: Preparation of quinazoline-2,4-diamines as melanin

concentrating hormone (MCH) receptor antagonists

INVENTOR(S): Sekiguchi, Yoshikatsu; Kanuma, Yukihiro; Omodera,

Katsunori; Tran, Thuy-ahn; Kramer, Bryan Aubrey;

Beeley, Nigel Robert Arnold

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 988 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GI

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
/				-	
JP 2004315511	Α	20041111	JP 2004-95046		20040329
PRIORITY APPLN. INFO.:			JP 2003-93418	Α	20030331
OTHER SOURCE(S):	MARPAT	141:379941			

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. Q-L-Y-R1 [Q = Q1, H2NC(:NH); wherein R2 = NHNH2, NHNHBoc,
 (un)substituted NH2, morpholino, 4-acetyl-piperazinyl, 4-phenylpiperazinyl; R1
 = each (un)substituted C1-16 alkyl, C2-8 alkenyl, C2-4 alkynyl, C3-6
 cycloalkyl, C3-6 cycloalkenyl, carbocyclyl, carbocyclic alkyl, or
 heterocyclyl; L = each Q2-Q6 or its cis- or trans-isomer, Q7-Q16; R4 = H, C1-3

alkyl; R5 = H, each (un)substituted carbocyclic aryl or C1-3 alkyl; Y = SO2, CO, a single bond, CH2] or salts thereof are prepd. These compds. are MCH receptor antagonists and used for regulating orphan G protein-coupled receptor SLC-1 and for the prevention and/or treatment of obesity, obesity-related diseases, anxiety, or depression. Thus, hydrogenolysis of benzyl cis-[[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexyl]methyl]carbamate over 5% Pd-C in MeOH at 50.degree. under H atm. for 3 days gave a soln. of cis-[[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexyl]methyl]amine in MeOH which underwent reductive alkylation with 4-bromo-2-trifluoromethoxybenzaldehyde and NaBH(OAc)3 in AcOH/CH2Cl2 to give, after purifn. using HPLC and treatment with 4 N HCl/EtOAc, compd. (I).2HCl. In a high throughput function screen for identifying lead compds., I.2HCl inhibited the human MCH-induced cellular Ca2+flux with IC50 of 6 .mu.g/mL.

L6 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:606448 CAPLUS Full-text

DOCUMENT NUMBER:

141:157111

TITLE:

Preparation of pyrazoles and analogs as PPAR

modulators for treatment of metabolic disorders,

diabetes mellitus, atherosclerosis, and cardiovascular

Current app.

disorders

INVENTOR(S):

Conner, Scott Eugene; Ma, Tianwei; Mantlo, Nathan Bryan; Mayhugh, Daniel Ray; Schkeryantz, Jeffrey

Michael; Warshawsky, Alan M.; Zhu, Guoxin

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA

SOURCE:

PCT Int. Appl., 214 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

	PATENT NO.				KINI)]	DATE		i	APPL	CAT:	ION 1	10.		D?	ATE			
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	WO	2004	0631	56		Al		2004	0729	Ţ	NO 20	003-1	JS39:	L19		20	0031	231	
	WO	2004	0631	56		A8		2005	0303										
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			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	
			NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	
			TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
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			BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	·CY,	CZ,	DE,	DK,	EE,	
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			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
	AU	2003	2964	04		Al		2004	0810	,	AU 20	003-	29640)4		20	0031	231	
	EP	1.585	733			A1		2005:	1019		EP 20	003-	81519	95՝		20	0031	231	
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	BG,	CZ,	EE,	ΗU,	SK			
	US	2006	2411	57		A1		2006	1026	1	US 20	005-	54034	11		. 20	050	521	
. PRIC	. PRIORITY APPLN. INFO.:								US 2003-438563P]	P 20030106					
						•				WO 2003-US39119				W 20031231					

OTHER SOURCE(S):

MARPAT 141:157111

GI

$$E-Y = \begin{vmatrix} R8 & R32 & R1 & R10 \\ \hline & V-U & Z1 & Z2 & R2 \\ \hline & R9 & R11 & I \end{vmatrix}$$

HO Me N
$$CF_3$$

AB Title pyrazoles, imidazoles, and (is)oxazoles I [wherein R1 = H, (un) substituted alkyl, alkenyl, (hetero) aryl(alkyl), arylheteroalkyl, cycloalkylaryl(alkyl); R2 = absent, (hetero)alkyl; R8 = H, alkyl, alkylenyl, halo; R9 = H, (un)substituted alkyl, alkylenyl, halo, aryl(alkyl), heteroaryl, allyl, alkoxy, alkylthio, etc.; R10, R11 = independently H, OH, CN, NO2, halo, oxo, (un) substituted (halo) alkyl, alkoxy, cycloalkyl, (hetero) aryl (alkyl), cycloalkylaryl(alkyl), aryloxy, acyl, carboxy, amino, sulfamoyl, etc.; R32 = bond, H, halo, (halo)alkyl, alkyloxo; E = (un)substituted carboxy(methyl), tetrazolyl(methyl), nitriloalkyl, carboxamido(methyl), sulfonamido(methyl); U = (un) substituted aliph. linker wherein one C of the linker is optionally replaced with 0, NH, or S; X = bond, O, S, SO2, NH; Y = bond, CH2, NH; Z1, Z2 = independently N, O, C, whit the proviso that at least one of Z1 and Z2 = N; Z3 = N, O, C; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepd. as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, chlorination of [3-methyl-1-(4-trifluoromethylphenyl)-1H-pyrazol-4-yl]methanol with MeSO2Cl and TEA in CH2Cl2, followed by coupling with (4-hydroxy-2- methylphenoxy)acetic acid Me ester using Cs2CO3 in acetonitrile and sapon. with NaOH in MeOH provided II. I and their pharmaceutical compns. are expected to be effective in treating and preventing metabolic disorders, diabetes mellitus, atherosclerosis, and cardiovascular disorders (no data).

II

L6 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:430797 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER:

141:7108

TIŢĹE:

Preparation of pyrazoles as modulators of peroxisome

proliferator activated receptors (PPARs), in

particular PPAR.gamma. agonists

INVENTOR (S):

Huck, Jacques; Saladin, Regis; Sierra, Michael

PATENT ASSIGNEE(S):

Carex SA, Fr.

SOURCE:

PCT Int. Appl., 156 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English 2

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATEN	T 1	10.			KINI	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
WO 20	040	0439	 51		A1	_	2004	. 0527				 EP31:			-: 2	0031	024
W	l :	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ;	CA,	CH,	CN,

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         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2003282051
                          A1
                                20040603
                                             AU 2003-282051
                                                                  . 20031024
PRIORITY APPLN. INFO.:
                                             EP 2002-360298
                                                                 Α
                                                                    20021024
                                             EP 2002-360372
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                                                                    20021220
                                            ·EP 2002-360373
                                                                 Α
                                                                    20021220
                                             US 2003-456954P
                                                                 P
                                                                    20030325
                                             EP 2003-360070
                                                                 Α
                                                                    20030611
                                             EP 2003-360091
                                                                 Α
                                                                    20030724
                                             WO 2003-EP11855
                                                                    20031024
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OTHER SOURCE(S):

MARPAT 141:7108

GI

$$\begin{array}{c} R^2 \\ N - (CH_2)_{n} \end{array}$$

Title compds. I [wherein R1 = H, CF3, (un) substituted alkyl, cycloalkyl, AB heterocyclyl, etc.; R2 = (un)substituted alkyl, amino, COH, etc.; n = 0-6; R11 and R12 = independently H, alkyl, CO2H and derivs., OH and derivs., NH2 and derivs., etc.; their analogs, derivs., solvates or salts] were prepd. for modulating peroxisome proliferator activated receptors (PPARs), in particular as PPAR.gamma. agonists, and for treating and/or preventing various diseases and conditions mediated by said nuclear receptors, including metabolic or cell proliferative disorders (no data). For example, 1-phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxaldehyde (prepn. given) was reacted with 1-(4methoxyphenyl)ethanone in isopropanol to give II in 67% yield. II inhibited adipocyte differentiation induced by rosiglitazone by about 68%, demonstrating its antagonistic activity towards human PPAR.gamma.. II induced adipocyte differentiation (25% of rosiglitazone efficacy), proving its human PPAR.gamma. partial agonistic activity. I are useful for treating diabetes, atherosclerosis, hyperglycemia, dyslipidemia, obesity, syndrome X, insulin resistance, hypertension, neuropathy, microvascular diseases (e.g.

retinopathy, nephropathy), macrovascular diseases (e.g. myocardial infarction, stroke, heart failure) in mammals.(no data).

ANSWER 8 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:951003 CAPLUS Full-text DOCUMENT NUMBER: 140:16723

TITLE: Preparation of 1,2-azole derivatives with hypoglycemic

and hypolipidemic activity

INVENTOR (S): Maekawa, Tsuyoshi; Hara, Ryoma; Odaka, Hiroyuki;

Kimura, Hiroyuki; Mizufune, Hideya; Fukatsu, Kohji

Takeda Chemical Industries, Ltd., Japan; Takeda PATENT ASSIGNEE(S):

Pharmaceutical Company Limited

SOURCE: PCT Int. Appl., 564 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	PATENT NO.				KIND DATE			APPLICATION NO.				DATE						
						-									_			
WO	2003	0997	93		A1		2003	1204	1	WO 2	003-	JP63	89		2	0030	522	
WO	2003	0997	93 .		A8		2004	1229				•					•	
WO	2003	0997	93		Α9		2005	0210										
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							DK,											
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	D.			•	•		VN,	•	•			***						
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			•				IE,	•		•	•	•	•		•	,	•	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG	
CA	2487	315			A1		2003	1204	1	CA 2	003-:	2487	315		2	0030	522	
· AU	2003	2411	73		A1		2003	1212		AU 2	003-:	2411	73		2	0030!	522	
JP	2004	2773	97		Α		2004	1007		JP 2	003-3	1449	84		2	0030	522	
. EP	1513	817			A1		2005	0316		EP 2	003-	7305	75		2	0030	522	
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		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK		
US	2006	1488	58		A1		2006	0706	1	US 2	005-	5172	14		2	0050	301	
PRIORIT	Y APP	LN.	INFO	. :						JP 2	002-	1514	05	1	A 2	0020	524	
										JP 2	002-	2871	61	7	A 2	0020	930	
											003-		-			0030		
																0030		
OTHER SOURCE(S).					марі	ידיגים	140.	1672	. WO 2003-JP6389					W 20030322				

OTHER SOURCE(S):

MARPAT 140:16723

GI

(EtOH-acetone), 51; .alpha.-naphthyl, 165-6.degree. (EtOH-acetone), 51.7; 5,6,7,8-tetrahydro-.beta.-naphthyl, 165-6.degree. (EtOH-acetone), 31.7; 5-(2,3-dihydro)indenyl, 178.degree. (EtOH-acetone), 55.4; 3-methyl-4-chlorophenyl, 185-7.degree. (EtOH), 74.3; 2-chloro-5-methylphenyl, 161-3.degree. (EtOH), 47.5; 3-bromo-4-methylphenyl, 186-7.degree. (EtOH), 88; and 3-trifluoromethylphenyl, 136-7.degree. [EtOH-iso-Pr2O], 55.7. Some show slight antiinflammatory activity.

L6 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1968:496713 CAPLUS Full-text

DOCUMENT NUMBER: 69:96713

TITLE: 4-Substituted 1,2-diphenyl-3,5-dioxopyrazolidines

PATENT ASSIGNEE(S): SPOFA, United Pharmaceutical Works

SOURCE: Brit., 6 pp.
CODEN: BRXXAA

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

•	KIND	DATE	APPLICATION NO.	DATE
		19680619	GB 1966-51960	19661121
		•	CZ	
			DE	•
			FR	
		19700707	US	19661221
INFO.:			CS .	19651223
	INFO.:		19700707	19680619 GB 1966-51960 CZ DE FR 19700707 US

GI For diagram(s), see printed CA Issue.

Pyrazolidines and their salts with antiinflammatory, analgesic, fibrinolytic, AB antirheumatic and uricosurgical properties were prepd. To 12.5 q. Na in 750 ml. MeOH is added 126 g. 1,2-diphenyl-3,5- dioxopyrazolidine, the whole added to a soln. of 78.5 g. 1-dimethylamino-4,4-dimethyl-3-pentanone in 200 ml. MeOH, the mixt. refluxed and stirred as a soln. of 62.8 g. Me2SO4 in 150 ml. MeOH is added dropwise over 40-50 min., and the mixt. refluxed and stirred 3 hrs. and worked up to yield 70 g. 1,2-diphenyl-3,5-dioxo-4-(4,4-dimethyl-3 oxopentyl)pyrazolidine, m. 139-40.degree. (dil. HOAc). Also prepd. were the following I (R and m.p. given): 2-FC6H4, 175-7.degree. (EtOH); 3-FC6H4, 149-50.degree.; 4-FC6H4, 106-7.degree.; 2-IC6H4, 135-7.degree.; 3-IC6H4, 114-15.degree.; 4-IC6H4, 151-2.degree.; 2-ClC6H4, 125-7.degree.; 3-ClC6H4, 119-20.degree.; 2-BrC6H4, 138-9.degree.; 3-BrC6H4, 119-21.degree.; 3-F3CC6H4, 128-30.degree. (EtOH); 2,5-ClMeC6H3, 118-20.degree. (EtOH); 3,4-BrMeC6H3, 146-8.degree.; 4-MeSC6H4, 126-7.degree.; 2,5-Me2C6H3, 129-30.degree.; 3,4-Me2C6H3, 147-8.degree.; 2,4,6-Me3C6H2, 123-5.degree.; 4-EtC6H4, 130-2.degree.; 4-iso-PrC6H4, 122-3.degree.; 4-BuC6H4, 122-4.degree.; 4-iso-BuC6H4, 136-7.degree.; 4-sec-BuC6H4, 115-16.degree.; 4-tert-BuC6H4, 125-6.degree.; 4-HO2CC6H4, 195-6.degree.; 4-PhCH2OC6H4, 130-1.'degree.; 1-adamantyl, 152-3.degree.; and 2thienyl, 148-9 degree. Also prepd. were the following I (RCOCH2CH2 and m.p. given): 4-methyl-3-oxobutyl, 116-18.degree.; 4-methyl-3-oxohexyl, 101-3.degree.; 1,3-diphenyl-3-oxopropyl, 164-6.degree., 5-indanoylethyl, 134-6.degree.; 6-tetrahydronaphthoylethyl, 129-31.degree.; and 1-naphthoylethyl, 162-4.degree..

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2004:430797 CAPLUS Full-text
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         141:7108
TITLE:
                         Preparation of pyrazoles as modulators of peroxisome
                         proliferator activated receptors (PPARs), in
                         particular PPAR gamma. agonists
                         Huck, Jacques; Saladin, Regis; Sierra, Michael
INVENTOR(S):
                         Carex SA, Fr.
PATENT ASSIGNEE(S):
                         PCT Int. Appl., 15% pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                         KIND.
     PATENT NO.
                               DATE
                                            APPLICATION NO.
                                                                   DATE
                                            _____
     WO 2004043951
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             OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
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             ÁF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2003/282051
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PRIORITY APPLN. INFO.:
                                            EP 2002-360298
                                                                A 20021024
                                            EP 2002-360372
                                                                A 20021220
                                            EP 2002-360373
                                                                A 20021220
                                            US 2003-456954P
                                                                P
                                                                   20030325
                                            EP 2003-360070
                                                                   20030611
                                                                Α
                                            EP 2003-360091
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                                                                   20030724
                                            WO 2003-EP11855
                                                                W
                                                                   20031024
OTHER SOURCE(S):
                         MARPAT 141:7108
GI
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II

$$R^2$$
 $N - (CH_2) n$
 R^{12}
 R^{12}

AB Title compds. I [wherein R1 = H, CF3, (un) substituted alkyl, cycloalkyl, heterocyclyl, etc.; R2 = (un)substituted alkyl, amino, COH, etc.; n = 0-6; R11 and R12 = independently H, alkyl, CO2H and derivs., OH and derivs., NH2 and derivs., etc.; their analogs, derivs., solvates or salts] were prepd. for modulating peroxisome proliferator activated receptors (PPARs), in particular as PPAR.gamma. agonists, and for treating and/or preventing various diseases and conditions mediated by said nuclear receptors, including metabolic or cell proliferative disorders (no data). For example, 1-phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxaldehyde (prepn. given) was reacted with 1-(4methoxyphenyl)ethanone in isopropanol to give II in 67% yield. II inhibited adipocyte differentiation induced by rosiglitazone by about 68%, demonstrating its antagonistic activity towards human PPAR.gamma.. II induced adipocyte differentiation (25% of rosiglitazone efficacy), proving its human PPAR.gamma. partial agonistic activity. I are useful for treating diabetes, atherosclerosis, hyperglycemia, dyslipidemia, obesity, syndrome X, insulin resistance, hypertension, neuropathy, microvascular diseases (e.g. retinopathy, nephropathy), macrovascular diseases (e.g. myocardial infarction, stroke, heart failure) in mammals. (no data).

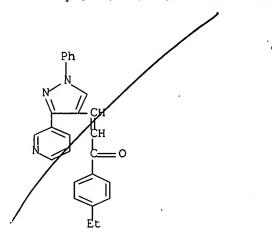
IT 423728-18-1P, 1-(4-Ethylphenyl)-3-[1-phenyl-3-(pyridin-3-yl)-1H-pyrazol-4-yl)propenone

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR.gamma. agonist; prepn. of pyrazoles as modulators of peroxisome proliferator activated receptors (PPARs), in particular PPAR.gamma. agonists)

RN 423728-18-1 CAPLUS

CN 2-Propen-1-one, 1-(4-ethylphenyl)-3-[1-phenyl-3-(3-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



L6 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2003:282325 CAPLUS Full-text

DOCUMENT NUMBER:

138:321285

TITLE:

Preparation of quinazoline-2,4-diamines as MCH

receptor antagonists

IN♥ENTOR(S):

Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Tran, Thuy-anh; Kramer, Bryan Aubrey;

Reclaim Nicel Debook Assold

Beeley, Nigel Robert Arnold

PATENT ASSIGNEE(S):

Taisho Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 1171 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                         KIND
                                DATE
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                                                                   DATE
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     WO 2003028641
                         A2
                                20030410
                                            WO 2002-US31059
                                                                   20020930
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PRIORITY APPLN. INFO.:
                                            US 2001-326463P
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                                            WO 2002-US31059
                                                                W
                                                                   20020930
OTHER SOURCE(S):
                         MARPAT 138:321285
GI
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. QLYR1[Q = I, C(:NH)NH2; R1 = (un)substituted alkyl, alkenyl,cycloalkyl, etc.; L = II-IV (wherein R4 = H, alkyl; R5 = H, alkyl, alkyl substituted by a substituted carbocyclic aryl), etc.; Y = SO2, CO, (CH2)m; m = 0-1] which act as MCH receptor antagonists, and are useful for prophylaxis or treatment of obesity, obesity related disorders, anxiety, or depression, were prepd. Thus, hydrogenation of benzyl cis-[4-(4-dimethylaminoquinazolin-2ylamino)cyclohexylmethyl]carbamate followed by reacting the resulting intermediate with 4-bromo-2- trifluoromethoxybenzaldehyde in the presence of NaBH(OAc)3 and AcOH in CH2Cl2, and treatment of the product with 4N HCl in EtOAc afforded 34% cis-V.2HCl which showed IC50 of 6 nM against MCH receptor. IT 510742-20-8P 510744-45-3P 510750-46-6P

511262-80-9P.

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(prepn. of quinazoline-2,4-diamines as MCH receptor antagonists)

RN 510742-20-8 CAPLUS

CN

1H-Pyrazole-4-acetamide, N-[cis-4-[[4-(dimethylamino)-2quinazolinyl]amino]cyclohexyl]-4,5-dihydro-3-methyl-.alpha.-[2-[4-(1methylethyl)phenyl]-2-oxoethyl]-5-oxo-1-phenyl- (9CI) (CA INDEX NAME)

RN 510744-45-3 CAPLUS

CN 1H-Pyrazole-4-acetamide, N-[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]-4,5-dihydro-3-methyl-.alpha.-[2-[4-(1-methylethyl)phenyl]-2-oxoethyl]-5-oxo-1-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 510750-46-6 CAPLUS

CN 3H-Pyrazol-3-one, 4-[1-[[[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]amino]methyl]-3-[4-(1-methylethyl)phenyl]-3-oxopropyl]-2,4-dihydro-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 511262-80-9 CAPLUS

CN 3H-Pyrazol-3-one, 4-[1-[[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]amino]methyl]-3-hydroxy-3-[4-(1-

methylethyl)phenyl]propyl]-2,4-dihydro-5-methyl-2-phenyl- (9CI) NAME)

Relative stereochemistry.

ANSWER 10 OF 27 ACCESSION NUMBER:

136:263165

DOCUMENT NUMBER:

TITLE:

INVENTØR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

CAPLUS COPYRIGHT 2007 ACS ∙on STN

2002:220534 CAPLUS Full-text

Preparation of 1,2,3,4-tetrahydronaphthalenecarboxamid e, 1,2,3,4-tetrahydroquinolinecarboxamide, indanecarboxamides, thiochromancarboxamide, and chromancarboxamide derivatives as C5a receptor antagonists and medicinal use thereof Nakamura, Mitsuharu; Kamahori, Takao; Ishibuchi,

Seigo; Naka, Yoichi; Sumichika, Hiroshi; Itoh, Katsuhiko

Mitsubishi Pharma Corporation, Japan

PCT Int. Appl., 415 pp.

CODEN: PIXXD2

Patent Japanese

PATENT NO. KIND DATE APPLICATION NO. WO 2002022556 A1 20020321 WO 2001-JP7977 20010914 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2001088045 A5 .20020326 AU 2001-88045 20010914 CA 2422342 A1 20030313 ·CA 2001-2422342 20010914 EP 1318140 A1 20030611 EP 2001-967682 20010914 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2004138223 20040715 A1 US 2003-380502 20030508 PRIORITY APPLN. INFO.: JP 2000-280540 A 20000914 JP 2000-386813 A 20001220 WO 2001-JP7977 20010914

AB Amide derivs. represented by the following general formula [I; R1, R2, R3, R4 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl, or alkoxy, aryloxy, arylalkyloxy, (un)substituted acyloxy, halo, NO2, cyano, acyl SH, alkylthio, alkylsulfinyl, NH2, alkylamino, dialkylamino, cyclic amino, (un) substituted CONH2, alkoxycarbonyl, CO2h, acylamino, (un) substituted SO2NH2, haloalkyl; or any two of R1, R2, and R3 together with adjacent carbon atom form a ring; all a, b, c, d, and e is a carbon atom; or one or two of a, b, c, d, and e represent one or two nitrogen atom and the other represent C atoms; R4, R5, R6 = haloalkyloxy, groups listed in R1 - R4; A = H, (un) substituted cycloalkyl, aryl, heteroaryl, or cyclic amino; W1, W2 = a bond, (un) substituted C1-3 alkylene; Y = a single bond, O, CO, NR7, S, SO, SO2, CONR8, NR9CO (wherein R7, R8, R9 = H, (un) substituted alkyl); Z = a single bond, (un)substituted alkylene) or optically active isomers thereof or pharmaceutically acceptable salts thereof are prepd. These compds. are useful as preventives and remedies for diseases or syndromes caused by inflammation induced by C5a, e.g. immunol. diseases such as rheumatism and systemic lupus erythematosus, allergic diseases such as sepsis, adult respiratory distress syndrome, chronic obstructive pulmonary disease and asthma, atherosclerosis, heart infarction, brain infarction, psoriasis, Alzheimer's disease and important organistic breakdown (e.g. pneumonia, nephritis, hepatitis, pancreatitis) induced by leukocyte activation caused by ischemic reperfusion, burn or surgical invasion. Moreover, they are useful as preventives and remedies for infection with bacteria and viruses mediated by C5a receptor. Thus, to a soln. of 3.3 g 1,2,3,4-tetrahydronaphthalene-1carboxylic acid in 20 mL CH2Cl2 was added 2.1 mL SO2Cl2 and the resulting mixt. was refluxed for 3 h, concd. under reduced pressure, dissolved in 10 mL CH2Cl2, treated with a soln. of 5.1 g N-[(4-dimethylaminophenyl)methyl](4isopropylphenyl)amine in 10 mL CH2Cl2 under ice-cooling, warmed to room temp., and stirred overnight to give N-[(4-dimethylaminophenyl)methyl]-N-(4isopropylphenyl)-1,2,3,4- tetrahydronaphthalene-1-carboxamide (II). II inhibited the binding of [1251] -human C5a receptor to human histiocystic lymphoma cell line (U-937) with IC50 of 104 nm/mL. A tablet, a capsule, an injection soln., and an eyedrop formulation contg. II were prepd.

IT 405098-47-7P

> RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of 1,2,3,4-tetrahydronaphthalenecarboxamide, 1,2,3,4-tetrahydroquinolinecarboxamide, indancarboxamides, thiochromancarboxamide, and chromancarboxamide derivs. as C5a receptor antagonists and medicinal use thereof)

RN 405098-47-7 CAPLUS

CN 1-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-N-[4-(1-methylethyl)phenyl]-5-(phenylmethoxy)-N-[(1-phenyl-1H-pyrazol-4-yl)methyl]- (9CI) (CA INDEX

IT 405098-48-8P 405100-17-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 1,2,3,4-tetrahydronaphthalenecarboxamide, 1,2,3,4-tetrahydroquinolinecarboxamide, indancarboxamides, thiochromancarboxamide, and chromancarboxamide derivs. as C5a receptor antagonists and medicinal use thereof)

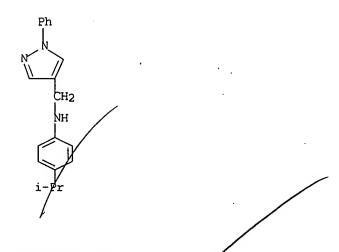
RN 405098-48-8 CAPLUS

CN 1-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-5-hydroxy-N-[4-(1-methylethyl)phenyl]-N-[(1-phenyl-1H-pyrazol-4-yl)methyl]- (9CI) (CA INDEX NAME)

RN 405100-17-6 CAPLUS

CN 1-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-N-[4-(1-methylethyl)phenyl]-N[(1-phenyl-1H-pyrazol-4-yl)methyl]- (9CI) (CA INDEX NAME)

```
IT 405103-42-6, (4-Isopropylphenyl)[(1-phenylpyrazol-4-
    yl)methyl]amine
    RL: RCT (Reactant); RACT (Reactant or reagent)
        (prepn. of 1,2,3,4-tetrahydronaphthalenecarboxamide,
        1,2,3,4-tetrahydroquinolinecarboxamide, indancarboxamides,
        thiochromancarboxamide, and chromancarboxamide derivs. as C5a receptor
        antagonists and medicinal use thereof)
RN 405103-42-6 CAPLUS
CN 1H-Pyrazole-4-methanamine, N-[4-(1-methylethyl)phenyl]-1-phenyl- (9CI)
        (CA INDEX NAME)
```



REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER 2002:142660 CAPLUS Full-text

DOCUMENT NUMBER: 136:200179
TITLE: Preparation

Preparation of N,N'-diarylurea derivatives as

complement receptor C5a antagonists

INVENTOR 🖟): Ishibuchi, Seigo; Sumichika, Hiroshi; Itoh, Katsuhiko;

Naka, Yoichi

PATENT ASSIGNEE(S): Welfide Corporation, Japan

SOURCE: PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

				APPLICATION NO.								
	 2014265	•		0221						2	0010	 310
W:	AE, AG,	AL, AM,	AT, AU,	AZ,	BA, BB	, BG,	BR,	BY,	BZ,	CA.	CH.	CN,
			DE, DK,									
			IL, IN,									
			MD, MG,	-			•		•			•
			SI, SK,	-	-		-	-				
	VN, YU,		01, OK,	υL,	10, 11	, 110,		10,	021,	00,	00,	02,
wa	: GH, GM,	•	MW MZ	SD	SI. S7	тг	UG	7.W	ΔΨ	BE	СĦ	CV
			FR, GB,		-							
			CM, GA,	-	•		•	•	•	•		D1 ,
CA 241	3652											210
	1077751											
	3438											
R:	AT, BE,			•	•		Ll,	ьU,	ΝL,	SE,	MC,	PT,
	IE, SI,	LT, LV,	FI, RO,	MK,	CY, AL	, TR			•			
US 200	3207939	A:	2003	1106	ŲS	2003-	34396	51		2	0030:	205
US 710	5567	B2	2006	0912								
PRIORITY AP	PLN. INFO	.:				JP 2000-243290				A 20000810		
									N 2	0010	310	
OTHER SOURCE	E(S):	MAI	MARPAT 136:200179									
OTHER BOOKS	- (0)		150.	2001	, ,							

$$R^2$$
 R^3
 R^4
 R^4
 R^6
 R^6

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AB N,N'-diarylurea derivs. represented by the following general formula [I; R1, R2, R3 = H, (un) substituted alkyl, cycloalkyl, alkenyl, or alkynyl, HO, (un) substituted alkoxy, SH, (un) substituted alkylthio, halo, NO2, cyano, amino, alkylamino, cyclic amino, alkylsulfonyl, CONH2, acylamino, sulfamoyl, acyl, CO2H, alkoxycarbonyl, (un) substituted aryl or heteroaryl; D = a bond, (un) substituted alkylene; A = (un) substituted alkyl, cycloalkyl, aryl, or heteroaryl; R4, R5 = H, (un) substituted alkyl or alkoxy, H0, halo; R6 = H, (un) substituted alkyl or alkoxy, HO, halo; X = O, S] or pharmaceutically acceptable salts thereof are prepd. Because of having a C5a receptor antagonism, these compds. are useful as remedies and preventives for diseases or syndromes induced by C5a, e.g. autoimmune diseases such as rheumatism and systemic lupus erythematosus, allergic diseases such as sepsis, adult respiratory distress syndrome, chronic obstructive pulmonary disease and asthma, atherosclerosis, cardiac infarction, brain infarction, psoriasis, Alzheimer's disease and serious organ injuries by the activation of leukocytes caused by ischemia, trauma, burn, surgical invasion, etc. (for example, pneumonia, nephritis, hepatitis and pancreatitis). Moreover, these compds. are also useful as remedies and preventives for bacterial and viral infections mediated by C5a receptor. Thus, to a soln. of (4-isopropylphenyl)[[1-(4trifluoromethylbenzyl)pyrazol-4-yl]methyl]amine in toluene was added 2,6-

diisopropylphenyl isocyanate and stirred at room temp. overnight to give N'-(2,6-diisopropylphenyl)-N-(4-isopropylphenyl)-N-[[1-(4trifluoromethylbenzyl)pyrazol-4-yl]methyl]urea. N'-(2,6- diisopropylphenyl)-N-[(4-dimethylaminophenyl)methyl]-N-(4- isopropylphenyl)urea 9/10 fumarate showed IC50 of 5 nmol/L for inhibiting the Ca2+ ion increase in C5a-simulated blood neutrophil. Pharmaceutical formulations, e.g. a capsule contg. N'-(2,6diisopropylphenyl) -N-[(4- dimethylaminophenyl) methyl] -N-(4-fluorophenyl) urea. IT 400865-51-2P, N-[[1-(4-Trifluoromethylphenyl)pyrazol-4-yl]methyl]-N-(4-isopropylphenyl).-N'-(2,6-diisopropylphenyl)urea RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (prepn. of diarylurea derivs. as complement receptor C5a antagonists for therapeutic agents) RN 400865-51-2 CAPLUS Urea, N'-[2,6-bis(1-methylethyl)phenyl]-N-[4-(1-mexhylethyl)phenyl]-N-[[1-CN [4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl]- (9CI) NAME) . PAGE 1-A Pr-i ΝН PAGE 2-A

REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2001:661400 CAPLUS Full-text

10

DOCUMENT NUMBER: 135:226990

TITLE: Preparation of 4-thiomethylpyrazoles as pesticides

INVENTOR (S):

Wu, Tai-teh; Scribner, Andrew William

PATENT ASSIGNEE(S):

Aventis CropScience SA, Fr.

SOURCE:

PCT Int. Appl., 44 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English :

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.										JICAT					ATE	
WO	2001	0646	51								•					0010	301
	W:	ΑE,	AG,	AL,	AM,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CN,	CR,	CU,
		CZ,	DM,	DZ,	EE,	GD,	GE,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KG,	KP,	KR,
		KZ,	LC,	LK,	LR,	LT,	LV,	MA,	MD,	MG,	MK,	·MN,	MX,	NO,	NZ,	PL,	RO,
		RU,	SG,	SI,	SK,	ТJ,	TM,	TT,	UA,	US,	UΖ,	VN,	YU,	ZA			
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	TT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
EP	1263	734			A1		2002	1211		EP 2	2001-	9193	59		2	0010	301
EP	1263	734			B1		2006	0920									
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
•		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
JР	2003	5252	75		T		2003	0826		JP 2	2001-	5634	93		2	0010	301
AT	3401	63			T		2006	1015		AT 2	2001-	9193	59		2	0010	301
US	2001	0538	54		A1		2001	1220		US 2	2001-	7966	51		2	0010	302
US	6458	744			B2		2002	1001									
PRIORIT	RIORITY APPLN. INFO.:									US 2	2000-	1863	13P		P 2	0000	302
										WO 2	2001-	EP23	06		W 2	0010	301
OTHER S	THER SOURCE(S):				MARPAT 135:22699				990							•	

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x3.x2 III

AB The title compds. [I; Q = II, III; W = N, CR6; X1X2X3 = CF2CF20, CF2OCF2, OCF2O; R1 = alkyl, haloalkyl, alkenyl, etc.; R2 = H, halo, (un)substituted NH2; R3, R6 = H, halo; R4 = H, haloalkyl; R5 = H, halo, haloalkyl, etc.; n = 0-2], useful as pesticides, were prepd. Thus, reacting 2-methylbutanethiol with 1-(2,6-dichloro-4-trifluoromethylphenyl)-3-cyano- 4-formylpyrazole with BF3.Et20 in 1,2-dichloroethane followed by addn. of Et3SiH, and then treating the resulting intermediate with DMF afforded I [Q = II; W = CCl; R1 = 2methylbutyl; R2 = NH2; R3 = C1; R4 = H; R5 = CF3; n = 0]. Biol. data for compds. I were given.

IT 358760-25-5P 358760-33-5P 358760-94-8P

358761-01-0P 358761-03-2P 358761-18-9P

358761-25-8P 358761-43-0P 358761-60-1P

358761-66-7P 358762-41-1P 358762-43-3P

358762-54-6P 358762-57-9P 358762-61-5P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 4-thiomethylpyrazoles as pesticides)

RN 358760-25-5 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-[[[2-(1-methylethyl)phenyl]thio]methyl]- (9CI) (CA INDEX NAME)

RN 358760-33-5 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-[[[4-(1,1-dimethylethyl)phenyl]thio]methyl]-(9CI) (CA INDEX NAME)

RN 358760-94-8 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2-chloro-4-(trifluoromethyl)phenyl]-4-[[[2-(1-methylethyl)phenyl]thio]methyl]- (9CI) (CA INDEX NAME)

RN 358761-01-0 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2-chloro-4-(trifluoromethyl)phenyl]-4-[[[4-(1,1-dimethylethyl)phenyl]thio]methyl]- (9CI) (CA INDEX NAME)

RN 358761-03-2 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2-chloro-4-(trifluoromethyl)phenyl]-4-[[4-(1-methylethyl)phenyl]thio]methyl]- (9CI) (CA INDEX NAME)

RN 358761-18-9 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2-chloro-5-(trifluoromethyl)phenyl]-4-[[[2-(1-methylethyl)phenyl]thio]methyl]- (9CI) (CA INDEX NAME)

RN 358761-25-8 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2-chloro-5-(trifluoromethyl)phenyl]-4-[[[4-(1,1-dimethylethyl)phenyl]thio]methyl]- (9CI) (CA INDEX NAME)

RN 358761-43-0 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-4-[[[2-(1-methylethyl)phenyl]thio]methyl]-1-(2,4,6-trichlorophenyl)-.(9CI) (CA INDEX NAME)

RN 358761-60-1 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-(2-bromo-4,6-dichlorophenyl)-4-[[[2-

(1-methylethyl)phenyl]thio]methyl]- (9CI) (CA INDEX NAME)

RN 358761-66-7 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-(2-bromo-4,6-dichlorophenyl)-4-[[[4-(1,1-dimethylethyl)phenyl]thio]methyl]- (9CI) (CA INDEX NAME)

RN 358762-41-1 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]methyl]-(9CI) (CA INDEX NAME)

RN 358762-43-3 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-[[[4-(1-methylethyl)phenyl]sulfonyl]methyl]-(9CI) (CA INDEX NAME)

RN 358762-54-6 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2,6-dichloro-4-(trifluoromethoxy)phenyl]-4-[[[2-(1-methylethyl)phenyl]sulfonyl]methyl]-(9CI) (CA INDEX NAME)

RN 358762-57-9 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2-chloro-4-(trifluoromethyl)phenyl]-4-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

RN 358762-61-5 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-(2-bromo-4,6-dichlorophenyl)-4-[[[4-(1-methylethyl)phenyl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

CORPORATE SOURCE:

AUTHOR (S)

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN 2001:620088 CAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER: 135:357875

TITLE: 4-Functionally substituted 3-heterylpyrazoles: IV.

1-Phenyl-3-aryl(heteryl)-5-(4-pyrazolyl)-2-pyrazolines

Bratenko, M. K.; Chornous, V. A.; Vovk, M. V.

Bukovinskaya State Medical Academy, Chernovtsy, 58000,

Ukraine

SOURCE Russian Journal of Organic Chemistry (Translation of

Zhurnal Organicheskoi Khimii) (2001), 37(4), 556-559

CODEN: RJOCEQ; ISSN: 1070-4280

PUBLISHER: MAIK Nauka/Interperiodica Publishing

Journal DOCUMENT TYPE: LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:357875

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Formylpyrazoles I (R = Ph, 2-thienyl, 5-Me-2-furyl, 3-pyridyl) undergo aldol AB condensation reactions with Me ketones R1COMe (R1 = Ph, 4-FC6H4, 4-ClC6H4, 4-BrC6H4, 4-EtC6H4, 4-MeOC6H4, 2-furyl, 2-thienyl) to give diaryl

pyrazolylpropenones II (R = Ph, 2-thienyl, 5-Me-2-furyl, 3-pyridyl; R1 = Ph, 4-FC6H4, 4-ClC6H4, 4-BrC6H4, 4-EtC6H4, 4-MeOC6H4, 2-furyl, 2-thienyl) in 78-92% yields. II (R = Ph, 2-thienyl, 5-Me-2-furyl, 3-pyridyl; R1 = Ph, 4-FC6H4, 4-ClC6H4, 4-BrC6H4, 4-EtC6H4, 4-MeOC6H4, 2-furyl, 2-thienyl) undergo cyclocondensation with phenylhydrazine to give diarylpyrazolyl pyrazolines III (R = Ph, 2-thienyl, 5-Me-2-furyl, 3-pyridyl; R1 = Ph, 4-FC6H4, 4-ClC6H4, 4-BrC6H4, 4-EtC6H4, 4-MeOC6H4, 2-furyl, 2-thienyl) in 41-58% yields as potential components of luminescent composite dyes (no data). E.g., 4-bromoacetophenone was added to a soln. of I (R = Ph) in isopropanol; the mixt. was heated at 50.degree. and a 20% ag. sodium hydroxide soln. added; after 30 min. of stirring at 50.degree. and 3 h stirring at 18-20.degree., pptn. yielded II (R = Ph; R1 = 4-BrC6H4) in 92% yield. E.g., phenylhydrazine was added to a soln. of II (R = Ph; R1 = 4-BrC6H4) in acetic acid; the soln. was heated at reflux for 4 h to give III (R = Ph; R1 = 4-BrC6H4) in 53% yield.

IT 372190-43-7P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of diaryl pyrazolylpyrazolines as potential luminescent dye components by aldol condensation of aryl Me ketones with formylpyrazoles followed by cyclocondensation with phenylhydrazine)

RN 372190-43-7 CAPLUS

> 2-Propen-1-one, 3-(1,3-diphenyl-1H-pyrazol-4-yl)-1-(4-ethylphenyl)- (9CI) (CA INDEX NAME)

CN

REFERENCE COUNT:

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER:

DOCUMENT NUMBER: 131:235677

TITLE:

INVENTOR(S): PATENT ASSIGNED (S) .: SOURCE:

DOCUMENT TXPE:

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

1999:583340 CAPLUS Full-text

Phenidone compound and silver halide color photographic paper containing the same Mikoshiba, Takashi; Yoshioka, Yasuhiro Fuji Photo Film Co., Ltd., Japan

Jpn. Kokai Tokkyo Koho, 55 pp.

CODEN: JKXXAF

Patent Japanese

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11246785	Α	19990914	JP 1998-49809	19980302
PRIORITY APPLN. INFO.:			JP 1998-49809	19980302
OTHER SOURCE(S):	MARPAT	131:235677		
GI				

The Ag halide color photog. paper contains the phenidone compd. represented by a general formula I (L = alkylene; R1 = alkyl, aryl; R2, R3 = H, alkyl, aryl; R4-8 = H, substituent) and a cyan coupler represented by a general formula II (Za, Zb = -C(Rc):, -N:; Ra, Rb = electron withdrawing group having Hammett substituent const. .delta.p .gtoreq.0.20; Rc = H, substituent; X = H, coupling group). The photog. paper shows excellent color reprodn. and improved storage stability.

IT 243986-55-2 243986-57-4 243986-58-5 243986-59-6 243986-60-9 243986-63-2 243986-64-3 243986-65-4 243986-66-5

243986-72-3

RL: DEV (Device component use); USES (Uses)

(phenidone compd. in silver halide color photog. paper)

RN 243986-55-2 CAPLUS

CN 3-Pyrazolidinone, 4-[2-[4-cyclohexyl-2-(1,1-dimethylethyl)phenoxy]ethyl]-1-phenyl- (9CI) (CA INDEX NAME)

RN

RN 243986-58-5 CAPLUS
CN 3-Pyrazolidinone, 4-[2-[2,4-bis(1,1,3,3-tetramethylbutyl)phenoxy]ethyl]-1phenyl- (9CI) (CA INDEX NAME)

RN 243986-59-6 CAPLUS
CN 3-Pyrazolidinone, 4-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-1phenyl- (9CI) (CA INDEX NAME)

RN . 243986-60-9 CAPLUS

CN 3-Pyrazolidinone, 4-[3-[2,4-bis(1,1-dimethylethyl)phenoxy]propyl]-1-phenyl-(9CI) (CA INDEX NAME)

RN 243986-63-2 CAPLUS

CN 3-Pyrazolidinone, 4-[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]-1-phenyl-(9CI) (CA INDEX NAME)

RN 243986-65-4 CAPLUS

CN 3-Pyrazolidinone, 4-[2-[2,4-bis(1,1-dimethylethyl)phenoxy]propyl]-1-phenyl-(9CI) (CA INDEX NAME)

RN 243986-66-5 CAPLUS

CN 3-Pyrazolidinone, 4-[8-[2,4-bis(1,1-dimethylpropyl)phenoxy]octyl]-1-phenyl-(9CI) (CA INDEX NAME)

RN 243986-72-3 CAPLUS

CN 3-Pyrazolidinone, 4-[2-[2,4-bis(1,1-dimethylethyl)phenoxy]ethyl]-1-[3-(hexadecyloxy)phenyl]- (9CI) (CA INDEX NAME)

IT 243986-53-0P 243986-54-1P

RL: DEV (Device component use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)

(phenidone compd. in silver halide color photog. paper)

RN 243986-53-0 CAPLUS

CN 3-Pyrazolidinone, 4-[2-[2,4-bis(1,1-dimethylpropyl)phenoxy]ethyl]-1-phenyl-(9CI) (CA INDEX NAME)

RN 243986-54-1 CAPLUS

CN 3-Pyrazolidinone, 4-[2-[2,4-bis(1,1-dimethylethyl),phenoxy]ethyl]-1-phenyl-(9CI) (CA INDEX NAME)

L6 ANSWER 15 OF 27 CAPLOS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:659311 CAPLUS Full-text

DOCUMENT NUMBER: 125:30099

TITLE: Preparation of 2-pyrazoline derivatives as herbicides INVENTOR(S): Araino, Nobuyuki; Miura, Juzo; Oda, Yoshiki; Nishioka,

Hitoshi

PATENT ASSIGNEE(S): Nihon Nohyaku Co Ltd, Japan SOURCE: Jpn. Kokai Tokkyo Koho, 63 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		·		
JP 08217777	Α	19960827	JP 1995-46427	19950210
PRIORITY APPLN. INFO.:			JP 1995-46427	19950210
OTHER SOURCE(S):	MARPAT	125:300995		

$$R = N$$

$$R = R^{2}$$

$$R^{2}$$

$$R^{2}$$

$$R^{2}$$

$$R^{2}$$

$$R^{2}$$

The title compds. [I; R = (un) substituted alkyl or alkenyl or Ph or pyridinyl, etc.; R1, R2 = H, (un) substituted alkyl or alkenyl, etc.; X = halo, NO2, (un) substituted alkyl or amino, etc.; n = 0-5; Z = CH2O] and their intermediates (Z = O, :CH2; others are same as above) are claimed. Herbicides contg. I are effective against Amaranthus lividus, Scirpus juncoides, and Monochoria vaginalis. Thus, trimethylsulfonium iodide was treated with NaH and then reacted with 4-benzoylmethyl-4-ethyl-3-methyl-1- phenyl-2-pyrazolin-5-one to give 55% a mixt. of diastereoisomers I (R = Ph, R1 = Et, R2 = Me, X = H, n = 5, Z = CH2O) (II). Herbicides contg. II at 3 kg/ha preemergence showed 100% herbicidal effect for Amaranthus lividus and Scirpus juncoides.

IT 182873-93-4P 182873-94-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of pyrazoline derivs. as herbicides)

RN 182873-93-4 CAPLUS

CN 3H-Pyrazol-3-one, 4-ethyl-4-[2-(3-ethylphenyl)-2-oxoethyl]-2,4-dihydro-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)

RN 182873-94-5 CAPLUS

CN 3H-Pyrazol-3-one, 4-ethyl-4-[2-(4-ethylphenyl)-2-oxoethyl]-2,4-dihydro-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)

L6 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1996:171879 CAPLUS Full-text

DOCUMENT NUMBER:

124:220541

TITLE:

Corticotropin-releasing factor antagonists for

treatment of stress-related disorders

INVENTOR(S):

Bright, Gene M.; Chen, Yuhpyng L.; Welch, Willard M.,

Jr.

PATENT ASSIGNEE (S):

Pfizer Inc., USA

SOURCE:

Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW.

DOCUMENT TYPE

Patent

LANGUAGE: _

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE		
EP 691128	A1 19960110	EP 1995-201475	19950606		
EP 691128	B1 20021211				
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IE, IT, LI, LU,	NL, PT, SE		
US 5646152	A 19970708	US 1994-259835	19940615		
AT 229334	T 20021215	AT 1995-201475	19950606		
PT 691128	T 20030228	PT 1995-201475	19950606		
ES 2186704	T3 20030516	ES 1995-201475	19950606		
CA 2151674	A1 19951216	CA 1995-2151674	19950613		
CA 2151674	C 19990622	•			
AU 9521691	A 19951221	AU 1995-21691	19950614		
AU 701963	B2 19990211				
JP 08003041	A 19960109	JP 1995-170453	19950614		
HU 71602	A2 19960129	HU 1995-1738	19950614		
ZA 9504921	A 19961217	ZA 1995-4921	19950614		
CZ 294696	B6 20050216	CZ 1995-1537	19950614		
US 6200979	B1 20010313	US 1997-796096	19970205		
PRIORITY APPLN. INFO.:		US 1994-259835	A 19940615		

AB Substituted pyrazoles and pyrazolopyrimidines (Markush structures is given) have ACTH-releasing factor antagonist activity and are useful in the treatment of a variety of stress-related disorders (no data).

IT 174569-91-6 174569-92-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(ACTH-releasing factor antagonists for treatment of stress-related disorders)

RN 174569-91-6 CAPLUS

RN 174569-92-7 CAPLUS

CN 1-Naphthaleneethanol, 2-[[5-(dimethylamino)-3-(methylthio)-1-(2,4,6-trichlorophenyl)-1H-pyrazol-4-yl]methoxy]- (9CI) (CA INDEX NAME)

closs and

L6 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1994:408893 CAPLUS Full-text

DOCUMENT NUMBER:

121:8893

TITLE:

Phenyl-substituted acrylate ester agrochemical

fungicides

INVENTOR (S):

Mueller, Bernd; Roehl, Franz; Koenig, Hartmann;

Sauter, Hubert; Lorenz, Gisela; Ammermann, Eberhard

PATENT ASSIGNEE(S):

SOURCE:

BASF A.-G., Germany

Eur. Pat. Appl., 86 pp.

DOCUMENT TYPE:

CODEN: EPXXDW

LANGUAGE:

Patent German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 581095	A2	19940202	EP 1993-111103	19930712
R: AT, BE, CH,	DE, DK	, ES, FR,	GB, GR, IE, IT, LI, NL	, PT, SE
CA 2100546	A1	19940125	CA 1993-2100546	19930714
JP 06211748	Α	19940802	JP 1993-181305	19930722
AU 9342121	A	19940127	AU 1993-42121	19930723
AU 660226	B2	19950615		
HU 66105	A2	19940928	HU 1993-2150	19930723
ZA 9305332	Α	19950123	ZA 1993-5332	19930723
PRIORITY APPLN. INFO.:			DE 1992-4224457	A 19920724
OTHER SOURCE(S):	MARPAT	121:8893		
GI				

$$R^{1}O_{2}C$$
 OR^{2}
 I
 $MeO_{2}C$
 OMe
 II

- The title compds. [I; B = (un) substituted alkyl, C1-4 (un) substituted alkenyl, (un) substituted alkynyl, etc.; R1, R2 = (un) substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, etc.; X, Y = H, halogen, CN, NO2, haloalkyl, alkyl, alkenyl, alkynyl, heteroaryl, heterocyclyl, etc.], useful as agrochem. fungicides, are prepd. and I-contg. formulations presented. Thus, Me. alpha. (2-hydroxyphenyl) .beta. -methoxyacrylate was condensed with phenacyl bromide, producing acrylate II, m.p. 76.degree., which demonstrated 90% inhibitory activity against Plasmopara viticola at 250 ppm.
- IT 154594-98-6P 154594-99-7P 154595-00-3P

 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as agrochem. fungicide)
- RN 154594-98-6 CAPLUS
- CN Benzeneacetic acid, .alpha.-(methoxymethylene).-2-[(1-phenyl-1H-pyrazol-4-yl)methoxy]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

- RN 154594-99-7 CAPLUS
- CN Benzeneacetic acid, .alpha.-(methoxymethylene)-2-[(5-methyl-1-phenyl-1H-pyrazol-4-yl)methoxy]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN

CN Benzeneacetic acid, 2-[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methoxy]-.alpha.-(methoxymethylene)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L6 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1994:323576 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER:

120:323576

TITLE:

Heteroaromatic compounds and plant-protecting agents

containing them

INVENTOR (S):

Mueller, Bernd; Sauter, Hubert; Wingert, Horst;

Koenig, Hartmann; Roehl, Franz; Ammermann, Eberhard;

Lorenz, Gisela

PATENT ASSIGNEE(S):

SOURCE:

BASF A.-G., Germany

Eur. Pat. Appl., 124 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

LANGUAGE:

Patent German

FAMILY ACC. NUM COUNT: 1

PATENT INFORMATION:

PAT	ENT NO.]	KIND	DATE	API	PLICATION NO.	DATE
EP	579071			A2	19940119	EP	1993-110679	19930705
EP	579071			À3	19970528			,
	R: AT,	BE,	CH,	DE, D	K, ES, FR,	GB, GF	R, IE, IT, LI,	NL, PT, SE
JP	06184096			Α	19940705	JP	1993-161424	19930630
JP	3217191			B2	20011009			
JP	20020535	58		Α	20020219	JP	2001-144159	19930630
IL	106292			Α	19980816	IL	1993-106292	19930709
CA	2100308			A1	19940117	CA	1993-2100308	19930712
AU	9341937			A	19940120	AU	1993-41937	19930715
UA	671457			B2	19960829			•
ZA	9305108			A	19950116	ZA	1993-5108	19930715
HU	68645			A2	19950728	HU	1993-2034	19930715
HU	214281			В	19980302			
US	5663185			A	19970902	US	1995-407371	1.9950320
ÜS	5672616			A	19970930	US	1996-720180	19960925
US	5736566			A	19980407	US	1997-888899	19970707
US	5817682			A	19981006	US	1997-949761	19971014
US	5962489			A	19991005	US	1998-141331	19980827
PRIORITY	APPLN.	INFO.	:			DE	1992-4223357	A 19920716
						JP	1993-161424	A3 19930630
						US	1993-91265	B3 19930715
						US	1995-407371	B3 19950320
						US	1995-500138	A3 19950710

GI

Heteroarom. compds. and plant-protecting agents contg. them are claimed. Such AB more narrowly claimed compds. are 3-pyrazoleacetates, 3-oxazoleacetates, 4isoxazoleacetates, etc. Example compds. are Me .alpha.-(hydroxyimino)-5-[(2-methylphenoxy)methyl]-4-thiazoleacetate (I) or Me 4-[(2-cyclopropyl-1oxopropoxy) methyl]-.a/pha.-(methoxyimino)-5- isoxazoleacetate (II).

IT 155298-26-3P

> RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as plant-protecting agent fungicide)

RN 155298-26-3 CAPLUS

1H-Pyrazole-5-acetic acid, .alpha.-(methoxyimino)-4-[[2-methyl-4-[1-[(2-CN propenyloxy)imino]ethyl]phenoxy]methyl]-1-phenyl-, methyl ester, (E,E)-(CA IŅDEX NAME)

Double bond geometry as shown.

CAPLUS COPYRIGHT 2007 ACS on STN L6 ANSWER 19 OF 27

ACCESSION NUMBER: 1990 601214 CAPLUS Full-text

DOCUMENT NUMBER:

123:201214

TITLE:

Direct-positive color photographic material

INVENTOR(S): PATENT ASSIGNEE (S

Deguchi, Hisayasu; Ichijima, Yasushi Fuji Photo Film Co., Ltd., Japan ·

SOURCE: Jpn. Kokai Tokkyo Koho, 35 pp. CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
JP 02061636	Α	19900301	JP 1988-212080	19880826		
PRIORITY APPLN. INFO.:		•	JP 1988-212080	19880826		
GI				•		

$$\begin{array}{c|c}
N & R^1 \\
X & X \\
MS - C & [(Y) nRZ]_m & I
\end{array}$$

AB In a photog. material comprising a support and .gtoreq.1 emulsion layer contg. unprefogged internal latent image-type Ag halide grains, the emulsion layers contain .gtoreq.1 $A\{(L1)vB1\}m(L2)wB2$ [A = a group splitting off $\{(L1)vB1\}m(L2)wB2$ upon reaction with an oxidized developing agent; a, v, w = 1 0, 1; L1, L2 = a linking group capable of splitting off during development; B1, B2 = a residue capable of reducing the oxidn. products of the developing agent] and .gtoreq.1 compd. having the formula I [M = H], a cation, a protective group for mercapto group split off by alkali; X = atoms required to complete a 5- or 6-membered heterocyclic ring; R = alkylene, alkenylene, arylene; Z = a polar substituent; Y = various divalent atoms and groups; R1 = H, other substituent; n = 0, 1; m = 0, 1, 2].

IT 130339-55-8

RL: USES (Uses)

(direct-pos. photog. material using)

RN 130339-55-8 CAPLUS

CN 2-Naphthalenecarboxamide, N-[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]-1-hydroxy-4-[[4-[[4-hydroxy-3-(1,1,3,3-tetramethylbutyl)phenoxy]methyl]-3methyl-1-(4-nitrophenyl)-1H-pyrazol-5-yl]oxy]- (9CI) (CA INDEX NAME)

ANSWER 20 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER:

DOCUMENT NUMBER:

1990:523724 CAPLUS Full-text

TITLE:

113:123724

INVENTOR (S):

Color photographic material Ichijima, Yasushi; Ogawa, Akira PATENT ASSIGNEE(S):

Fuji Photo Film Co., Ltd., Japan

Jpn. Kokai Tokkyo Koho, 30 pp. CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

Japane'se

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02016541	A	19900119	JP 1988-166030	19880705
PRIORITY APPLN./INFO.:			JP 1988-166030	19880705

The title material contains .gtoreq.1 development inhibitor releasing yellow AB coupler of the formula AL1L2DI [A = a group cleavable from L1L2DI by reaction with an oxidized developer; L1 = a group cleavable from L2DI after cleavage from A; L2 = a group cleavable from DI after cleavage from L1; DI = a development inhibitor or its precursor], and .gtoreq.1 hydrophobic 2-equiv. yellow coupler (mol. wt. 450-720) of the formula R1COCXHCONHAr [R1 = tertiary alkyl, arom.; Ar = arom.; X = group to be released upon reaction with an oxidized developer; a dimer may be formed with R1, Ar, or X becoming a divalent connecting group]. The material shows improved image sharpness.

IT 129340-38-1

RL: USES (Uses)

(photog. development-inhibitor-releasing yellow coupler, color material contg., with improved image sharpness)

129340-38-1 CAPLUS RN

Benzoic acid, 4-chloro-3-[[3-(4-methoxyphenyl)-2-[[3-methyl-4-[[2-[[(5-CN methyl-1,3,4-oxadiazol-2-yl)thio]methyl]phenoxy]methyl]-1-phenyl-1Hpyrazol-5-yl]oxy]-1,3-dioxopropyl]amino]-, dodecyl ester (9CI) (CA INDEX .

COPYRIGHT 2007 ACS on STN ANSWER 21 OF 27 ACCESSION NUMBER: 1990:449675 CAPLUS Full-text

DOCUMENT NUMBER:

113:49675

TITLE:

Color film containing improved development

inhibitor-releasing compound

Nakajo, Kiyoshi; Ichijima, Yasushi; Sakagami, Megumi

Fuji Photo Film Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 37 pp.

INVENTOR(S): PATENT ASSIGNEE(S):

SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE:

Patent Japanese

LANGUAGE:

FAMILY ACC. NUM. COUNT:

- -

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 01266540 A 19891024 JP 1988-95313 19880418

PRIORITY APPLN. INFO.: JP 1988-95313 19880418

AB The title fu<u>ll-color photog</u> material contains .gtoreq.1 development

inhibitor-releasing compd., and has a total photosensitive layer thickness at development of .ltoreq.40 .mu.m. The material has improved sharpness.

IT 128103-60-6

RL: USES (Uses)

(photog. development-inhibitor-releasing coupler, color film contg., with improved sharpness)

RN 128103-60-6 CAPLUS

CN Benzoic acid, 3,3'-[[2-[[4-[[2-[[[5-[(2-methoxy-1-methyl-2-oxoethyl)thio]-1,3,4-thiadiazol-2-yl]thio]methyl]phenoxy]methyl]-3-methyl-1-(4-nitrophenyl)-1H-pyrazol-5-yl]oxy]-1,3-dioxo-1,3-propanediyl]diimino]bis[4-chloro-, didodecyl ester (9CI) (CA INDEX NAME)

L₆ ANSWER 22 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1988:560433 CAPLUS Full-text

DOCUMENT NUMBER: 109:160433

Development inhibitor-releasing coupler for silver TITLE:

halide color photographic material

INVENTOR (S): Ishige, Osamu; Kida, Shuji; Nakagawa, Satoshi

Konicá Co., Japan PATENT ASSIGNEE(S):

Jpń. Kokai Tokkyo Koho, 28 pp. SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. **LOUNT:** i

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
/				
JP 63027840	Α	19880205	JP 1986-170762	19860722
PRIORIZY APPLN. INFO.:		•	JP 1986-170762	19860722

AΒ A color photoq. material having improved image sharpness and color quality and diminished contamination of developing soln. is claimed which comprises .gtoreq.1 Ag halide emulsion layer contg. a photog. useful group precursor and a compd. which releases a reactive group or an agent/forming a photog. useful group through reaction with the photog. useful group precursor during processing.

116826-62-1 IT

RL: USES (Uses)

(photog. development inhibitor-releasing coupler)

116826-62-1 CAPLUS RN

2-Naphthalenecarboxamide, 4-[[4-[[[2/butoxy-4-(1,1,3,3-CN tetramethylbutyl)phenyl]thio]methy2]-3-methyl-1-phenyl-1H-pyrazol-5- . yl]oxy]-1-hydroxy-N-[2-(tetrade loxy)phenyl]- (9CI) (CA INDEX NAME)

CAPLUS COPYRIGHT 2007 ACS on STN ANSWER 23 OF 27

1981/150018 CAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER: 94:150018

TITLE: Pyrazolidine derivatives: a comparative study of

their effects on platelet aggregation

AUTHOR (S): Cepelak, V.; Cepelakova, Hana; Brunova, Bohumila;

Kuchar, M.; Roubal, Z.

CORPORATE SOURCE: Fac. Med., Charles Univ., Pilsen, Hung. SOURCE: Folia Haematologica (Leipzig) (1979), 106(5-6), 839-48

CODEN: FOHEAW; ISSN: 0323-4347

DOCUMENT TYPE:

Journal English

GI

LANGUAGE:

AB Phenylbutazone [50-33-9] and 3-oxoalkyl substituted diphenyldioxopyrazolidines I (R = H or CO2H; X = H, Me, Et, OH, halo, etc.) such as kebuzone [853-34-9], tribuzone [13221-27-7], and benzopyrazone [3878-14-6] inhibited primary and secondary platelet aggregation in vitro and ex vivo. The ex vivo effect of these compds. was dependent on the elimination kinetics and blood concn. of the compds. Structure-activity studies indicated that an increase in the alkyl side chain length attached to the Ph ring of I caused a decrease in platelet aggregation inhibitory activity, whereas a halide substitution in the meta position of the pH ring of I increased the inhibitory activity.

20358-35-4 20358-37-6 20358-38-7 IT

20567-54-8

RL: BIOL (Biological study)

(blood platelet aggregation inhibition by, structure in relation to)

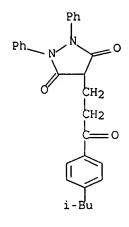
RN 20358-35-4 CAPLUS

3,5-Pyrazolidi, nedione, 4-[3-[4-(1-methylethyl)phenyl]-3-oxopropyl]-1,2-CN

diphenyl- (9C/I) (CA INDEX NAME)

RN 20358-37-6 CAPLUS

3,5-Pyrazolidinedione, 4-[3-[4-(2-methylpropyl)phenyl]-3-oxopropyl]-1,2-CNdiphenyl- (9CI) (CA INDEX NAME)



RN 20358-38-7 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-[4-(1-methylpropyl)phenyl]-3-oxopropyl]-1,2-diphenyl- (9CI) (CA INDEX NAME)

RN 20567-5/4-8 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-(4-ethylphenyl)-3-oxopropyl]-1,2-diphenyl-(9CI) (CA INDEX NAME)

CAPLUS COPYRIGHT 2007 ACS on STN ANSWER 24 OF 27

ACCESSION NUMBER: 1977;5366 CAPLUS Full-text

86;5366 DOCUMENT NUMBER:

TITLE:

SOURCE:

LANGUAGE:

AUTHOR(S):

CORPORATE SOURCE:

DOCUMENT TYPE:

OTHER SOURCE(S):

Chemistry of heteroanalogs of isoflavones. IV.

Synthesis of pyrazole analogs of isoflavones

Khilya, V. P.; Grishko, L. G.; Zhul, T. I.

Kiev. Gos: Univ. im. Shevchenko, Kiev, USSR

Khimiya Geterotsiklicheskikh Soedinenii (1976), (8),

1108-11

CODEN: KGSSAQ; ISSN: 0132-6244

Journal Russian

CASREACT 86:5366

GI

AB Pyrazole analogs of isoflavones I (R1 = CO2Et, CF3, H, R2 = hexyl, C1, R3 = H, Me/ COMe, Et) and II (R2 = H, Me, R3 = Me, H, COMe) were obtained in 71-98% yields by cyclization of the corresponding acetophenone derivs. III, and IV with ClCOCO2Et, (CF3CO)2O, HCO2Me in the presence of NaOCMe3 or by heating with HC(OEt)3 in pyridine.

ΙT 61033-96-3P 61033-98-5P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

```
RN
     61033-96-3 CAPLUS
CN
     Ethanone, 1-(5-hexyl-2,4-dihydroxyphenyl)-2-(1-phenyl-1H-pyrazol-4-yl)-
            (CA INDEX NAME)
     Ph
             (CH2)5-Me
RN
     61033-98-5 CAPLUS
     Ethanone, 1-(5-hexyl-2-hydroxy-4-methexyphenyl)-2-(1-phenyl-1H-pyrazol-4-
CN
     yl) - (9CI) (CA INDEX NAME)
     Ph
       CH<sub>2</sub>
            (CH<sub>2</sub>)5-Me
                       CAPLUS COPYRIGHT 2007 ACS on STN
     ANSWER 25 OF 27
                          1974:133338 CAPLUS Full-text
ACCESSION NUMBER:
DOCUMENT NUMBER:
                          80:13/3338
                          45Súbstituted-1,2-diphenyl-3,5-dioxopyrazolidines
TITLE:
AUTHOR (S):
                          Ťisnerova, L.; Kakac, B.; Nemecek, O.
CORPORATE SOURCE:
                          Vyzk. Ustav Farm. Biochem., Prague, Czech.
SOURCE:
                          Collection of Czechoslovak Chemical Communications
                          (1974), 39(2), 624-33
                          CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE!
                          Journal
LANGUAGE:
                          English
GI
     For diagram(s), see printed CA Issue.
     Four groups of title compds. with potential antiinflammatory activity were
AΒ
     prepd. Thus, Na salt of 1,2-diphenyl-3,5-dioxopyrazolidine was treated at
```

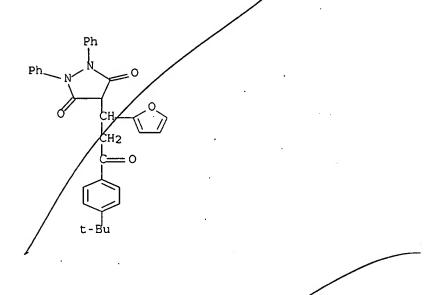
(prepn. and cyclization of)

120.degree. in DMF with R1CH2NMe2 or R2COCH:CHR3 to give, resp., I and II (R = Me, Ph, CO2X, aliph. chain, arom. or heterocyclic group). Similarly, some pharmacol. active III [R4 = (CH2)2-COMe, (CH2)2COPh, (CH2)2COCMe3, (CH2)3Me] were treated as above with ClCH2CO2Et or Cl(CH2)2NMe2 and the product worked up with HCl to yield IV [R4 = as above, R5 = CH2CO2H, (CH2)2NMe2.HCl]. In the 4th group, contg. an indole ring, V was prepd. by heating at 80.degree. in anhyd. PhMe in the presence of H3PO4 N-(4-chlorobenzoyl)-N-(4-methoxyphenyl)hydrazine-HCl with 1,2-diphenyl-3,5-dioxo-4-(3-oxobutyl)pyrazoldine or its 4-carboxymethyl deriv. to give, resp., V (R6 = H) and V (R6 = CH2CO2H). Some compds. of the II group proved pharmacol. most promising.

IT 52479-07-9P

RN 52479-07-9 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-[4-(1,1-dimethylethyl)phenyl]-1-(2-furanyl)-3-oxopropyl]-1,2-diphenyl- (901) (CA INDEX NAME)



L6 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1969:512852 CAPLUS Full-text

ACCESSION NUMBER:
DOCUMENT NUMBER:

72:112852

TITLE:

SOURCE:

Benzopyrazone [4-(2-benzoylethyl)-1,2-diphenyl-3,5-

pyrazolidinedione] derivatives. II

AUTHOR(S): CORPORATE SOURCE Brunova, B.; Musil, V.; Horakova, Z.; Nemecek, O.

Vyzk. Ustav Farm. Biochem., Prague, Czech.

Cesko-Slovenska Farmacie (1969), 18(1), 28-32

CODEN: CKFRAY; ISSN: 0009-0530

DOCUMENT TYPE:

Journal

LANGUAGE / Czech

GI For diagram(s), see printed CA Issue.

4-Substituted-2-benzoylethyl-1,2-diphenyl-3,5-pyrazolidinediones (I) were prepd. by reaction of a Mannich base II and the Na salt of 1,2-diphenyl-3,5-pyrazolidinedione (III). The mixt. of II and III was heated in methanol, Me2SO4 in methanol added, and the mixt. refluxed 3-4 hrs. and worked up. The following I were prepd. (R, m.p., and % yield given): p-Me, 151-2.degree. (95% EtOH), 50.2; p-Et, 130-2.degree. (EtOH), 53.5; p-Pr, 140-2.degree. (70% EtOH), 16.5; p-iso-Pr, 122-3.degree. (80% EtOH), 38; p-Bu, 122-4.degree. (EtOH), 41; p-tert-Bu, 126-7.degree. (EtOH), 36.4; p-sec-Bu, 116-17.degree. (EtOH), 11.4; p-iso-Bu, 136-7.degree. (EtOH), 52.3; 2.5-di-Me, 129-30.degree. (EtOH), 47; 3,4-di-Me, 148.degree. (EtOH), 36.2; 2,4,6-Me3, 123.5.degree. (EtOH), 49.3;

4,3-ClMe, 138-9.degree. (EtOH), 55.5; 2,5-ClMe, 123-5.degree. (EtOH), 51; 3,4-BrMe, 131-3.degree. (benzene-n-hexane), 38; 3-F3C, 128-30.degree. (EtOH), 30; and the following Ia: 5,6,7,8-tetrahydro- .alpha.-naphthyl, 162-4.degree. (EtOH), 23.3; 5,6,7,8-tetrahydro-.beta.- naphthyl, 130-1.degree. (EtOH) 24; and 5-(2,3-dihydro)indenyl, 134-6.degree. (EtOH) 19. The following RCOCH2CH2NMe2.HCl were prepd. (R, m.p., and % yield given): p-tolyl, 176-8.degree. (EtOH-acetone), 51; p-ethylphenyl, 149-50.degree. (EtOH-acetone), 58.2; p-propylphenyl, 140-1.degree. (EtOH-ether), 60.1; p-isopropylphenyl, 161-3.degree. (EtOH-acetone), 42.8; p-butylphenyl, 142-3.degree. (EtOHacetone), 31; p-tert-butylphenyl, 163-5.degree. (EtOH-ether), 67; p-secbutylphenyl, 153-5.degree. (EtOH-ether), 43.5; p-isobutylphenyl, 160-2.degree. (EtOH-ether), 38; 2,5-dimethylphenyl, 151-3.degree. (EtOH-acetone), 46.8; 3,4dimethylphenyl, 193-5.degree. (EtOH-acetone), 87.3; mesityl, 157-9.degree. (EtOH-acetone), 51; .alpha.-naphthyl, 165-6.degree. (EtOH-acetone), 51.7; 5,6,7,8-tetrahydro-.beta.-naphthyl, 165-6.degree. (EtOH-acetone), 31.7; 5-(2,3-dihydro)indenyl, 178.degree. (EtOH-acetone), 55.4; 3-methyl-4chlorophenyl, 185-7.degree. (EtOH), '74.3; 2-chloro-5-methylphenyl, 161-3.degree. (EtOH), 47.5; 3-bromo-4-methylphenyl, 186-7.degree. (EtOH), 88; 3-trifluoromethylphenyl, 136-7.degree. [EtOH-iso-Pr20], 55.7. Some show slight antiinflammatory activity.

IT 20358-35-4P 20358-36-5P 20358-37-6P 20358-38-7P 20358-39-8P 20567-54-8P 23934-90-9P

RN 20358-35-4 CAPLUS

CN 3,5-Pyrazolidinedione, 4=[3-[4-(1-methylethyl)phenyl]-3-oxopropyl]-1,2diphenyl- (9CI) (CA INDEX NAME)

RN 20358-36-5 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[2-(p-butylbenzoyl)ethyl]-1,2-diphenyl- (8CI) (CA INDEX NAME)

RN 20358-37-6 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-[4-(2-methylpropyl)phenyl]-3-oxopropyl]-1,2-diphenyl- (9CI) (CA INDEX NAME)

RN 20358-38-7 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-[4-(1-methylpropyl)phenyl]-3-oxopropyl]-1,2-diphenyl- (9CI) (CA INDEX NAME)

RN 20358-39-8 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[2-(p-tert-butylbenzoyl)ethyl]-1,2-diphenyl-(8CI) (CA INDEX NAME)

RN 20567-54-8 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-(4-ethylphenyl)-3-oxopropyl]-1,2-diphenyl-(9CI) (CA INDEX NAME)

RN 23934-90-9 CAPLUS

3,5-Pyrazolidinedione, 1,2-diphenyl-4-[2-(p-propylbenzoyl)ethyl]- (8CI) CN(CA INDEX NAME)

L6 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1968:496713 CAPLUS Full-text

DOCUMENT NUMBER: 69:96713

4-Substituted 1,2-diphenyl-3,5-dioxopyrazolidines TITLE:

PATENT ASSIGNEE(S): SPOFA, United Pharmaceutical Works

SOURCE: Brit., 6 pp.

CODEN: BRXXAA DOCUMENT TYPE: Patent

LANGUAGE: English 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
GB 1117679 CZ 145219		19680619	GB 1966-51960 CZ	19661121		
DE 1620440			DE			
FR 1513442			FR			

US 3519640 19700707 US 19661221 PRIORITY APPLN. INFO.: CS 19651223

GI For diagram(s), see printed CA Issue.

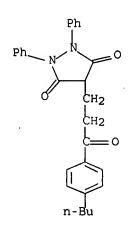
Pyrazolidines and their salts with antiinflammatory, analgesic, fibrinolytic, AB antirheumatic and uricosurgical properties were prepd. To 12.5 g. Na in 750 ml. MeOH is added 126 g. 1,2-diphenyl-3,5- dioxopyrazolidine, the whole added to a soln. of 78.5 g. 1-dimethylamino-4,4-dimethyl-3-pentanone in 200 ml. MeOH, the mixt. refluxed and stirred as a soln. of 62.8 g. Me2SO4 in 150 ml. MeOH is added dropwise over 40-50 min., and the mixt. refluxed and stirred 3 hrs. and worked up to yield 70 g. 1,2-diphenyl-3,5-dioxo-4-(4,4-dimethyl-3 oxopentyl)pyrazolidine, m. 139-40.degree. (dil. HOAc). Also prepd. were the following I (R and m.p. given): 2-FC6H4, 175-7.degree. (EtOH); 3-FC6H4, 149-50.degree:; 4-FC6H4, 106-7.degree.; 2-IC6H4, 135-7.degree.; 3-IC6H4, 114-· 15.degree.; 4-IC6H4, 151-2.degree.; 2-ClC6H4, 125-7.degree.; 3-ClC6H4, 119-20.degree.; 2-BrC6H4, 138-9.degree.; 3-BrC6H4, 119-21.degree.; 3-F3CC6H4, 128-30.degree. (EtOH); 2,5-ClMeC6H3, 118-20.degree. (EtOH); 3,4-BrMeC6H3, 146-8.degree.; 4-MeSC6H4, 126-7.degree.; 2,5-Me2C6H3, 129-30.degree.; 3,4-Me2C6H3, 147-8.degree.; 2,4,6-Me3C6H2, 123-5.degree.; 4-EtC6H4, 130-2.degree.; 4-iso-PrC6H4, 122-3.degree.; 4-BuC6H4, 122-4.degree.; 4-iso-BuC6H4, 136-7.degree.; 4-sec-BuC6H4, 115-16.degree.; 4-tert-BuC6H4, 125-6.degree.; 4-HO2CC6H4, 195-6.degree.; 4-PhCH2OC6H4, 130-1.degree.; 1-adamantyl, 152-3.degree.; and 2thienyl, 148-9.degree.. Also prepd. were the following I (RCOCH2CH2 and m.p. given): 4-methyl-3-oxobutyl, 116-18.degree.; 4-methyl-3-oxohexyl, 101-3.degree.; 1,3-diphenyl-3-oxopropyl, 164-6.degree., 5-indanoylethyl, 134-6.degree.; 6-tetrahydronaphthoylethyl, 129-31.degree.; and 1-naphthoylethyl, 162-4.degree...

RN 20358-35-4 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-[4-(1-methylethyl)phenyl]-3-oxopropyl]-1,2diphenyl- (9CI) (CA INDEX NAME)

RN 20358-36-5 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[2-(p-butylbenzoyl)ethyl]-1,2-diphenyl- (8CI) (CA INDEX NAME)



RN 20358-37-6 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-[4-(2-methylpropyl)phenyl]-3-oxopropyl]-1,2-diphenyl- (9CI) (CA INDEX NAME)

RN 20358-38-7 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-[4-(1-methylpropyl)phenyl]-3-oxopropyl]-1,2-diphenyl- (9CI) (CA INDEX NAME)

RN 20358-39-8 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[2-(p-tert-butylbenzoyl)ethyl]-1,2-diphenyl-(8CI) (CA INDEX NAME)

RN 20567/-54-8 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-(4-ethylphenyl)-3-oxopropyl]-1,2-diphenyl-(9CI) (CA INDEX NAME)

=> s 16 and metabolic disorder

235888 METABOLIC

26 METABOLICS

235909 METABOLIC

(METABOLIC OR METABOLICS)

262014 DISORDER

205038 DISORDERS

415785 DISORDER

(DISORDER OR DISORDERS)

33559 METABOLIC DISORDER

(METABOLIC (W) DISORDER)

L7 2 L6 AND METABOLIC DISORDER

=> s 16 and diabete

58 DIABETE

127789 DIABETES

127793 DIABETE

(DIABETE OR DIABETES)

L8 6 L6 AND DIABETE

=> d 16 and atherosclerosis

'AND' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

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The following are valid formats:

ABS ----- GI and AB

ALL ----- BIB, AB, IND, RE

APPS ----- AI, PRAI

BIB ----- AN, plus Bibliographic Data and PI table (default)

CAN .----- List of CA abstract numbers without answer numbers

CBIB ----- AN, plus Compressed Bibliographic Data

CLASS ----- IPC, NCL, ECLA, FTERM

DALL ----- ALL, delimited (end of each field identified)

DMAX ----- MAX, delimited for post-processing

FAM ----- AN, PI and PRAI in table, plus Patent Family data

FBIB ----- AN, BIB, plus Patent FAM

IND ----- Indexing data

IPC ----- International Patent Classifications

MAX ----- ALL, plus Patent FAM, RE

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PATS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
             SCAN must be entered on the same line as the DISPLAY,
             e.g., D SCAN or DISPLAY SCAN)
STD ----- BIB, CLASS
IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels
OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels
SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations
HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
             containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
             its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
             structure diagram, plus NTE and SEQ fields
FHITSTR ---- First HIT RN, its text modification, its CA index name, and
             its structure diagram
FHITSEQ ---- First HIT RN, its text modification, its CA index name, its
             structure diagram, plus NTE and SEQ fields
KWIC ----- Hit term plus 20 words on either side
OCC ----- Number of occurrence of hit term and field in which it occurs
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To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

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=> s 16 and cardiovascular disorder

100541 CARDIOVASCULAR

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100544 CARDIOVASCULAR

(CARDIOVASCULAR OR CARDIOVASCULARS)

262014 DISORDER 205038 DISORDERS

415785 DISORDER

(DISORDER OR DISORDERS)

2165 CARDIOVASCULAR DISORDER

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=> s 16 and cardiovascular

100541 CARDIOVASCULAR

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100544 CARDIOVASCULAR

(CARDIOVASCULAR OR CARDIOVASCULARS)

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L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN GI

Title compds. Q-L1-P-L2-M-X-L3-A [Q = H, (hetero)aryl, alkyl, etc.; L1 = bond, alkylene, heteroalkylene, O, etc.; P = (hetero)arom., cycloalkylene, etc.; L2 = bond, alkylene, heteroalkylene, etc.; M = (hetero)arom., cycloalkylene, arylalkylene, etc.; X = divalent alkyl, (un)substituted-N; O, SOO-2; L3 = bond, alkylene, heteroalkylene, etc.; A = COOH, tetrazolyl, SO3H, PO3H2, etc.; I] are prepd. For instance, (S)-3-[4-((4'-trifluoromethyl-1,1'-biphenyl-3-yl)methoxy)phenyl]hexan-4-ynoic acid (II) is prepd. in 5 steps from (S)-3-(4-hydroxyphenyl)hexan-4-ynoic acid Me ester (prepn. given), 4-(trifluoromethyl)phenylboronic acid and 3-bromobenzoic acid. II has an EC50 < 0.1 .mu.M for human G protein-coupled receptor GPR40. I are useful for the treatment of type II diabetes.</p>

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN GI

$$E-Y = \begin{vmatrix} R8 & R32 & R1 \\ - V & Z3 & Z2 \end{vmatrix} = \begin{vmatrix} R10 & R10 \\ - Z3 & R2 \end{vmatrix}$$

$$R = \begin{vmatrix} R10 & R10 \\ - Z3 & R2 \end{vmatrix}$$

$$R = \begin{vmatrix} R10 & R10 \\ - Z3 & R2 \end{vmatrix}$$

AB Title pyrazoles, imidazoles, and (is)oxazoles I [wherein R1 = H, (un) substituted alkyl, alkenyl, (hetero) aryl(alkyl), arylheteroalkyl, cycloalkylaryl(alkyl); R2 = absent, (hetero)alkyl; R8 = H, alkyl, alkylenyl, halo; R9 = H, (un)substituted alkyl, alkylenyl, halo, aryl(alkyl), heteroaryl, allyl, alkoxy, alkylthio, etc.; R10, R11 = independently H, OH, CN, NO2, halo, oxo, (un) substituted (halo) alkyl, alkoxy, cycloalkyl, (hetero) aryl (alkyl), cycloalkylaryl(alkyl), aryloxy, acyl, carboxy, amino, sulfamoyl, etc.; R32 = bond, H, halo, (halo)alkyl, alkyloxo; E = (un)substituted carboxy(methyl), tetrazolyl(methyl), nitriloalkyl, carboxamido(methyl), sulfonamido(methyl); U = (un) substituted aliph. linker wherein one C of the linker is optionally replaced with O, NH, or S; X = bond, O, S, SO2, NH; Y = bond, CH2, NH; Z1, Z2 = independently N, O, C, whit the proviso that at least one of Z1 and Z2 = N; Z3 = N, O, C; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepd. as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, chlorination of [3-methyl-1-(4-trifluoromethylphenyl)-1H-pyrazol-4-yl]methanol with MeSO2Cl and TEA in CH2Cl2, followed by coupling with (4-hydroxy-2- methylphenoxy)acetic acid Me ester using Cs2CO3 in acetonitrile and sapon. with NaOH in MeOH provided II. I and their pharmaceutical compns. are expected to be effective in treating and preventing metabolic disorders, diabetes mellitus, atherosclerosis, and cardiovascular disorders (no data).

II

L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN GI

$$\begin{array}{c} R^2 \\ R^1 \\ R^1 \end{array}$$

AB Title compds. I [wherein R1 = H, CF3, (un) substituted alkyl, cycloalkyl, heterocyclyl, etc.; R2 = (un)substituted alkyl, amino, COH, etc.; n = 0-6; R11 and R12 = independently H, alkyl, CO2H and derivs., OH and derivs., NH2 and derivs., etc.; their analogs, derivs., solvates or salts] were prepd. for modulating peroxisome proliferator activated receptors (PPARs), in particular as PPAR gamma. agonists, and for treating and/or preventing various diseases and conditions mediated by said nuclear receptors, including metabolic or cell proliferative disorders (no data). For example, 1-phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxaldehyde (prepn. given) was reacted with 1-(4methoxyphenyl)ethanone in isopropanol to give II in 67% yield. II inhibited adipocyte differentiation induced by rosiglitazone by about 68%, demonstrating its antagonistic activity towards human PPAR.gamma.. II induced adipocyte differentiation (25% of rosiglitazone efficacy), proving its human PPAR.gamma. partial agonistic activity. I are useful for treating diabetes, atherosclerosis, hyperglycemia, dyslipidemia, obesity, syndrome X, insulin resistance, hypertension, neuropathy, microvascular diseases (e.g. retinopathy, nephropathy), macrovascular diseases (e.g. myocardial infarction, stroke, heart failure) in mammals. (no data).

=> d ibib abs tot

L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2005:1026833 CAPLUS Full-text

DOCUMENT NUMBER: 143:326090

TITLE: Preparation of arylmethoxyphenyl-alkylcarboxylic acids

and related derivatives for use in treating metabolic

disorders

INVENTOR(S): Akerman, Michelle; Houze, Jonathan; Lin, Daniel C. H.;

Liu, Jiwen; Luo, Jian; Medina, Julio C.; Qiu, Wei; Reagan, Jeffrey D.; Sharma, Rajiv; Shuttleworth, Stephen J.; Sun, Ying; Zhang, Jian; Zhu, Liusheng

PATENT ASSIGNEE(S):

Amgen Inc., USA; et al. PCT Int. Appl., 163 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

SOURCE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GI

									APPLICATION NO. DATE									
WO	2005	0866	61		A2		2005	0922	WO 2005-US5815									
WO	2005																	
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI;	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	KZ,	LC,	
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	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
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		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙT,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	
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EP	1737	809			A2		2007	0103		EP 2	005-	7236	23		2	0050	224	
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												6015						
										WO 2	005-	US58	15		W 2	0050	224	
THER S	OURCE	(S):			MAR	PAT	143:	3260	90									

Title compds. Q-L1-P-L2-M-X-L3-A [Q = H, (hetero)aryl, alkyl, etc.; L1 = bond, alkylene, heteroalkylene, O, etc.; P = (hetero)arom., cycloalkylene, etc.; L2 = bond, alkylene, heteroalkylene, etc.; M = (hetero)arom., cycloalkylene, arylalkylene, etc.; X = divalent alkyl, (un)substituted-N; O, SOO-2; L3 = bond, alkylene, heteroalkylene, etc.; A = COOH, tetrazolyl, SO3H, PO3H2, etc.; I] are prepd. For instance, (S)-3-[4-((4'-trifluoromethyl-1,1'-biphenyl-3-yl)methoxy)phenyl]hexan-4-ynoic acid (II) is prepd. in 5 steps from (S)-3-(4-hydroxyphenyl)hexan-4-ynoic acid Me ester (prepn. given), 4-(trifluoromethyl)phenylboronic acid and 3-bromobenzoic acid. II has an EC50 < 0.1 .mu.M for human G protein-coupled receptor GPR40. I are useful for the treatment of type II diabetes.

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:606448 CAPLUS Full-text

DOCUMENT NUMBER:

141:157111

TITLE:

Preparation of pyrazoles and analogs as PPAR modulators for treatment of metabolic disorders,

diabetes mellitus, atherosclerosis, and

cardiovascular disorders

INVENTOR(S):

Conner, Scott Eugene; Ma, Tianwei; Mantlo, Nathan Bryan; Mayhugh, Daniel Ray; Schkeryantz, Jeffrey

Michael; Warshawsky, Alan M.; Zhu, Guoxin

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA

SOURCE:

PCT Int. Appl., 214 pp.

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

LANGUAGE:

English .

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE		DATE		
WO 2004063166	A1 20040729	WO 2003-US39119	20031231		
WO 2004063166	A8 20050303				
W: AE, AG,	AL, AM, AT, AU, AZ,	BA, BB, BG, BR, BW, BY,	BZ, CA, CH,		
CN, CO,	CR, CU, CZ, DE, DK,	DM, DZ, EC, EE, EG, ES,	FI, GB, GD, .		
GE, GH,	GM, HR, HU, ID, IL,	IN, IS, JP, KE, KG, KP,	KR, KZ, LC,		
LK, LR,	LS, LT, LU, LV, MA,	MD, MG, MK, MN, MW, MX,	MZ, NI, NO,		
NZ, OM,	PG, PH, PL, PT, RO,	RU, SC, SD, SE, SG, SK,	SL, SY, TJ,		
TM, TN,	TR, TT, TZ, UA, UG,	US, UZ, VC, VN, YU, ZA,	ZM, ZW		
RW: BW, GH,	GM, KE, LS, MW, MZ,	SD, SL, SZ, TZ, UG, ZM,	ZW, AM, AZ,		
BY, KG,	KZ, MD, RU, TJ, TM,	AT, BE, BG, CH, CY, CZ,	DE, DK, EE,		
ES, FI,	FR, GB, GR, HU, IE,	IT, LU, MC, NL, PT, RO,	SE, SI, SK,		
TR, BF,	BJ, CF, CG, CI, CM,	GA, GN, GQ, GW, ML, MR,	NE, SN, TD, TG		
AU 2003296404	A1 20040810	AU 2003-296404	20031231		
EP 1585733	A1 20051019	EP 2003-815195	20031231		
R: AT, BE,	CH, DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,		
		CY, AL, BG, CZ, EE, HU,			
US 2006241157	A1 20061026	US 2005-540341	20050621		
PRIORITY APPLN. INFO.	:	US 2003-438563P	P 20030106		
		WO 2003-US39119	W 20031231		
OTHER SOURCE(S).	MADDAT 1/1.15711				

OTHER SOURCE(S):

MARPAT 141:157111

GI

$$E-Y = \begin{vmatrix} R8 & R32 & R1 & R10 \\ \hline & V-U & Z1 & Z2 & R2 & R11 & R10 \\ \hline & & & & & & & & \\ R9 & & & & & & & \\ R9 & & & & & & & \\ R11 & & \\ R11$$

HO Me N
$$\sim$$
 CF3

AB Title pyrazoles, imidazoles, and (is)oxazoles I [wherein R1 = H, (un) substituted alkyl, alkenyl, (hetero) aryl(alkyl), arylheteroalkyl, cycloalkylaryl(alkyl); R2 = absent, (hetero)alkyl; R8 = H, alkyl, alkylenyl, halo; R9 = H, (un) substituted alkyl, alkylenyl, halo, aryl(alkyl), heteroaryl, allyl, alkoxy, alkylthio, etc.; R10, R11 = independently H, OH, CN, NO2, halo, oxo, (un) substituted (halo) alkyl, alkoxy, cycloalkyl, (hetero) aryl (alkyl), cycloalkylaryl(alkyl), aryloxy, acyl, carboxy, amino, sulfamoyl, etc.; R32 = bond, H, halo, (halo)alkyl, alkyloxo; E = (un)substituted carboxy(methyl), tetrazolyl(methyl), nitriloalkyl, carboxamido(methyl), sulfonamido(methyl); U = (un) substituted aliph. linker wherein one C of the linker is optionally replaced with O, NH, or S; X = bond, O, S, SO2, NH; Y = bond, CH2, NH; Z1, Z2 = independently N, O, C, whit the proviso that at least one of Z1 and Z2 = N; Z3 = N, O, C; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepd. as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, chlorination of [3-methyl-1-(4-trifluoromethylphenyl)-1H-pyrazol-4-yl]methanol with MeSO2Cl and TEA in CH2Cl2, followed by coupling with (4-hydroxy-2- methylphenoxy)acetic acid Me ester using Cs2CO3 in acetonitrile and sapon. with NaOH in MeOH provided II. I and their pharmaceutical compns. are expected to be effective in treating and preventing metabolic disorders, diabetes mellitus, atherosclerosis, and cardiovascular disorders (no data).

II

L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:430797 CAPLUS Full-text

DOCUMENT NUMBER:

141:7108

TITLE:

Preparation of pyrazoles as modulators of peroxisome

proliferator activated receptors (PPARs), in

particular PPAR gamma. agonists

INVENTOR(S):

Huck, Jacques; Saladin, Regis; Sierra, Michael

Carex SA, Fr.

SOURCE:

PCT Int. Appl., 156 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT ASSIGNEE(S):

PATENT NO.	KIND DATE	APPLICATION NO.	DATE			
WO 2004043951	A1 20040527	WO 2003-EP311855	20031024			
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY, BZ,	CA, CH, CN,			

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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
             GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
             LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
             OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
             TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                          A1 .
                                20040603
                                            AU 2003-282051
    AU 2003282051
                                                                    20031024
PRIORITY APPLN. INFO.:
                                            EP 2002-360298
                                                                 Α
                                                                    20021024
                                            EP 2002-360372
                                                                 Α
                                                                    20021220
                                            EP 2002-360373
                                                                 Α
                                                                    20021220
                                            US 2003-456954P
                                                                 P
                                                                    20030325
                                            EP 2003-360070 -
                                                                 Α
                                                                    20030611
                                            EP 2003-360091
                                                                ·A
                                                                    20030724
                                            WO 2003-EP11855
                                                                 W
                                                                    20031024
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OTHER SOURCE(S):

GI

MARPAT 141:7108

$$R^2$$
 $N - (CH_2)_n$
 R^{11}
 R^{12}

AB Title compds. I [wherein R1 = H, CF3, (un) substituted alkyl, cycloalkyl, heterocyclyl, etc.; R2 = (un)substituted alkyl, amino, COH, etc.; n = 0-6; R11 and R12 = independently H, alkyl, CO2H and derivs., OH and derivs., NH2 and derivs., etc.; their analogs, derivs., solvates or salts] were prepd. for modulating peroxisome proliferator activated receptors (PPARs), in particular as PPAR.gamma. agonists, and for treating and/or preventing various diseases and conditions mediated by said nuclear receptors, including metabolic or cell proliferative disorders (no data). For example, 1-phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxaldehyde (prepn. given) was reacted with 1-(4methoxyphenyl)ethanone in isopropanol to give II in 67% yield. II inhibited adipocyte differentiation induced by rosiglitazone by about 68%, demonstrating its antagonistic activity towards human PPAR.gamma.. II induced adipocyte differentiation (25% of rosiglitazone efficacy), proving its human PPAR.gamma. partial agonistic activity. I are useful for treating diabetes, atherosclerosis, hyperglycemia, dyslipidemia, obesity, syndrome X, insulin resistance, hypertension, neuropathy, microvascular diseases (e.g. retinopathy, nephropathy), macrovascular diseases (e.g. myocardial infarction, stroke, heart failure) in mammals. (no data).

=> d 19 ibib abs tot

L9 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2005:1026833 CAPLUS Full-text

DOCUMENT NUMBER:

143:326090

TITLE:

Preparation of arylmethoxyphenyl-alkylcarboxylic acids and related derivatives for use in treating metabolic

disorders

INVENTOR(S): .

Akerman, Michelle; Houze, Jonathan; Lin, Daniel C. H.; Liu, Jiwen; Luo, Jian; Medina, Julio C.; Qiu, Wei; Reagan, Jeffrey D.; Sharma, Rajiv; Shuttleworth, Stephen J.; Sun, Ying; Zhang, Jian; Zhu, Liusheng

APPLICATION NO.

DATE

PATENT ASSIGNEE(S):

SOURCE:

Amgen Inc., USA; et al. PCT Int. Appl., 163 pp.

DATE

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

KIND

LANGUAGE:

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.

						KIM					APPLICATION NO. DATE								
	WO	2005	0866	61		A2		2005	0922	1		005-					0050	224	
	WU											D.C	D D	DII	DI	D.6	G.	G11	
		W:										BG,							
			•	•	•	•		•	•	•	•	EC,	-		•	-	•	•	
			•	•	•	•		•	•	•	•	JP,		•	•	-	•	•	
			•	•	•	•		•	•	•		MK,	•		•	•	•		
			ио,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
			SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
			AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AΤ,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	ΡL,	PT,	
			RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	
			MR,	NE,	SN,	TD,	TG												
	ΑU	2005	2207	28		A2		2005	0922		AU 2	005-	2207	28		2.	0050	224	
	AU	2005	2207	28		A1		2005	0922							•			
	CA	2558	585			A1		2005	0922		CA 2	005-	2558	585		2	0050	224	
	EP	1737	809			A2		2007	0103		EP 2	005-	7236	23		2	0050	224	
		R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	
			IS,	IT,	LI,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,	BA,	
			HR,	LV,	MK,	YU													
	CN	1946	666			Ά		2007	0411		CN 2	005-	8001	2709		2	0050	224	
	US	2006	0040	12		A1						005-			•		0050		
	MX	2006	PA09	793		A		2006	1030		MX 2	006-	PA97	93		2	0060	828	
	US	2007	1423	84		A1		2007	0621		US 2	006-	5912	14		2	0060	828	
		2006							1122			006-					0060		
PRIO		APP										004-							
					-							004-							
												005-				W 2			
OTHE	R SC	OURCE	(s):			MAR	PAT	143:	3260					-		~			

OTHER SOURCE(S):

MARPAT 143:326090

GI

$$F3C$$
 $C = C - Me$

II

Title compds. Q-L1-P-L2-M-X-L3-A [Q = H, (hetero)aryl, alkyl, etc.; L1 = bond, alkylene, heteroalkylene, O, etc.; P = (hetero)arom., cycloalkylene, etc.; L2 = bond, alkylene, heteroalkylene, etc.; M = (hetero)arom., cycloalkylene, arylalkylene, etc.; X = divalent alkyl, (un)substituted-N; O, SOO-2; L3 = bond, alkylene, heteroalkylene, etc.; A = COOH, tetrazolyl, SO3H, PO3H2, etc.; I] are prepd. For instance, (S)-3-[4-((4'-trifluoromethyl-1,1'-biphenyl-3-yl)methoxy)phenyl]hexan-4-ynoic acid (II) is prepd. in 5 steps from (S)-3-(4-hydroxyphenyl)hexan-4-ynoic acid Me ester (prepn. given), 4-(trifluoromethyl)phenylboronic acid and 3-bromobenzoic acid. II has an EC50 < 0.1 mu.M for human G protein-coupled receptor GPR40. I are useful for the treatment of type II diabetes.

L9 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:995925 CAPLUS Full-text

DOCUMENT NUMBER:

141:424182

TITLE:

Preparation of pyrazole-amine compounds useful as

kinase inhibitors

INVENTOR (S):

Dyckman, Alaric; Das, Jagabandhu; Leftheris, Katerina; Liu, Chunjian; Moquin, Robert V.; Wrobleski, Stephen

Т.

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA

SOURCE:

PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. K						KIND		DATE			APPLICATION NO.						DATE		
WO WO					A2 A3		20041118 20050714		WO 2004-US13786						20040503				
			-				AU,		BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
	•	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
		TJ,	TM,	TN,	TR,	TT,	TZ,	ÜΑ,	ŪG,	US,	ŬΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		
		ΑZ,	BY,	KG,	KZ,	·MD,	RU,	TJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,		
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,		
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,		
		SN,	TD,	TG										•			•		
US	2004248853				A 1		20041209		1	US 2004-838006						20040503			
US	S 7151113				B2		2006	1219		•					,				
US	US 2005004176			•	A1		20050	0106	1	US 2004-837778						20040503			

US 2005159424 A1 20050721 US 2004-838129 20040503 EP 1620108 A2 20060201 EP 2004-760705 20040503 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, US 2006247247 A1 20061102 US 2006-477010 20060628 PRIORITY APPLN. INFO.: US 2003-467029P 20030501 US 2004-838006 A3 20040503 WO 2004-US13786 20040503

OTHER SOURCE(S):

MARPAT 141:424182

GI

AB The title compds. I [G = Ph, pyridyl; W = CH2O, CO2, NHCHR8, CHR8NH, NHCO(CHR8)r (wherein R8 = H, alkyl; r = 0-2); R1 = H, (un)substituted alkyl, aryl, etc.; R2 = H, (un)substituted alkyl, alkoxy, etc.; R3 = H, CF3, OCF3, etc.; R4 = H, (un) substituted alkyl, halo, etc.; R5 = CF3, OCF3, CN, etc.; X = CONH, NHCO, NHCO2, SO2NH, CO2, or is absent; R6 = H, (un) substituted alkyl, alkoxy, etc.; m = 0-3], useful for treating p38 kinase-assocd. conditions (such as inflammatory disorder) in a mammal (no data), were prepd. E.g., a 3step synthesis of II, starting from 1-phenyl-5-propyl-1H-pyrazole-4-carbonyl chloride, was given.

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:606448 CAPLUS Full-text DOCUMENT NUMBER: 141:157111

TITLE: Preparation of pyrazoles and analogs as PPAR

modulators for treatment of metabolic disorders,

diabetes mellitus, atherosclerosis, and

cardiovascular disorders

Conner, Scott Eugene; Ma, Tianwei; Mantlo, Nathan INVENTOR(S):

Bryan; Mayhugh, Daniel Ray; Schkeryantz, Jeffrey

Michael; Warshawsky, Alan M.; Zhu, Guoxin

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

2

SOURCE: PCT Int. Appl., 214 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

English LANGUAGE:

PATENT INFORMATION:

FAMILY ACC. NUM. COUNT:

PATENT NO.						KIN	D	DATE		APPLICATION NO.						DATE		
							-									-		
WO 2004063166						A1	20040729			WO 2003-US39119						20031231		
WO 2004063166					A8		20050303											
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
			CN.	CO.	CR.	CU.	CZ.	DE.	DK.	DM.	DZ.	EC.	EE.	EG.	ES.	FT.	GB.	GD.

```
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
             NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
             TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
    AU 2003296404
                                            AU 2003-296404
                                                                   20031231
                          A1
                                20040810
    EP 1585733
                          A1
                                20051019
                                            EP 2003-815195
                                                                    20031231
            AT, BE, CH, DE, DK, ES, FR, GB, GR, .IT, LI, LU, NL, SE, MC, PT,
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    US 2006241157
                          A1
                                20061026
                                            US 2005-540341
PRIORITY APPLN. INFO.:
                                            US 2003-438563P
                                                                    20030106
                                            WO 2003-US39119
                                                                 W · 20031231
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MARPAT 141:157111

GI

$$E-Y = \begin{bmatrix} R8 & R32 & R1 & R10 \\ \hline & V-U & Z3 & R2 & R1 \\ \hline & R9 & V-U & Z3 & R2 & R1 \\ \hline & R9 & V-U & R11 & I \\ \hline & R9 &$$

Title pyrazoles, imidazoles, and (is)oxazoles I [wherein R1 = H, AB (un) substituted alkyl, alkenyl, (hetero) aryl(alkyl), arylheteroalkyl, cycloalkylaryl(alkyl); R2 = absent, (hetero)alkyl; R8 = H, alkyl, alkylenyl, halo; R9 = H, (un) substituted alkyl, alkylenyl, halo, aryl(alkyl), heteroaryl, allyl, alkoxy, alkylthio, etc.; R10, R11 = independently H, OH, CN, NO2, halo, oxo, (un) substituted (halo) alkyl, alkoxy, cycloalkyl, (hetero) aryl (alkyl), cycloalkylaryl(alkyl), aryloxy, acyl, carboxy, amino, sulfamoyl, etc.; R32 = bond, H, halo, (halo)alkyl, alkyloxo; E = (un)substituted carboxy(methyl), tetrazolyl(methyl), nitriloalkyl, carboxamido(methyl), sulfonamido(methyl); U = (un)substituted aliph. linker wherein one C of the linker is optionally replaced with O, NH, or S; X = bond, O, S, SO2, NH; Y = bond, CH2, NH; Z1, Z2 = independently N, O, C, whit the proviso that at least one of Z1 and Z2 = N; Z3 = N, O, C; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepd. as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, chlorination of [3-methyl-1-(4-trifluoromethylphenyl)-1H-pyrazol-4-yl]methanol with MeSO2Cl and TEA in CH2Cl2, followed by coupling with (4-hydroxy-2- methylphenoxy)acetic acid Me ester using Cs2CO3 in acetonitrile and sapon. with NaOH in MeOH provided II. I and their pharmaceutical compns. are expected to be effective in treating and preventing metabolic disorders, diabetes mellitus, atherosclerosis, and cardiovascular disorders (no data).

L9 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:430797 CAPLUS Full-text

DOCUMENT NUMBER:

141:7108

TITLE:

Preparation of pyrazoles as modulators of peroxisome

proliferator activated receptors (PPARs), in

particular PPAR.gamma. agonists

INVENTOR(S):

Huck, Jacques; Saladin, Regis; Sierra, Michael

PATENT ASSIGNEE(S): Carex SA, Fr.

SOURCE:

PCT Int. Appl.; 156 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

GI

English

FAMILY ACC. NUM. COUNT:

		DATE	APPLICATION NO.					
WO 2004043951	A1 :	20040527	WO 2003-EP311855	2	20031024			
W: AE, AG, AL,	AM, AT,	AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA,	CH, CN,			
CO, CR, CU,	CZ, DE,	DK, DM,	DZ, EC, EE, EG, ES,	FI, GB,	GD, GE,			
GH, GM, HR,	HU, ID,	IL, IN,	IS, JP, KE, KG, KP,	KR, KZ,	LC, LK,			
LR, LS, LT,	LU, LV,	MA, MD,	MG, MK, MN, MW, MX,	MZ, NI,	NO, NZ,			
OM, PG, PH,	PL, PT,	RO, RU,	SC, SD, SE, SG, SK,	SL, SY,	TJ, TM,			
TN, TR, TT,	TZ, UA,	UG, US,	UZ, VC, VN, YU, ZA,	ZM, ZW				
RW: GH, GM, KE,	LS, MW,	MZ, SD,	SL, SZ, TZ, UG, ZM,	ZW, AM,	AZ, BY,			
KG, KZ, MD,	RU, TJ,	TM, AT,	BE, BG, CH, CY, CZ,	DE, DK,	EE, ES,			
FI, FR, GB,	GR, HU,	IE, IT,	LU, MC, NL, PT, RO,	SE, SI,	SK, TR,			
BF, BJ, CF,	CG, CI,	CM, GA,	GN, GQ, GW, ML, MR,	NE, SN,	TD, TG			
AU 2003282051	A1 :	20040603	AU 2003-282051	2	20031024			
PRIORITY APPLN. INFO.:			EP 2002-360298	A 2	0021024			
			EP 2002-360372	A 2	0021220			
			EP 2002-360373	A 2	0021220			
			US 2003-456954P	P 2	0030325			
			EP 2003-360070	A 2	0030611			
			EP 2003-360091	A 2	0030724			
			WO 2003-EP11855	W 2	0031024			
OTHER SOURCE(S):	MARPAT	141:7108						

$$R^2$$
 $N - (CH_2)_n$
 R^{11}
 R^{12}

AB Title compds. I [wherein R1 = H, CF3, (un) substituted alkyl, cycloalkyl, heterocyclyl, etc.; R2 = (un)substituted alkyl, amino, COH, etc.; n = 0-6; R11 and R12 = independently H, alkyl, CO2H and derivs., OH and derivs., NH2 and derivs., etc.; their analogs, derivs., solvates or salts] were prepd. for modulating peroxisome proliferator activated receptors (PPARs), in particular as PPAR.gamma. agonists, and for treating and/or preventing various diseases and conditions mediated by said nuclear receptors, including metabolic or cell proliferative disorders (no data). For example, 1-phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxaldehyde (prepn. given) was reacted with 1-(4methoxyphenyl)ethanone in isopropanol to give II in 67% yield. II inhibited adipocyte differentiation induced by rosiglitazone by about 68%, demonstrating its antagonistic activity towards human PPAR.gamma.. II induced adipocyte differentiation (25% of rosiglitazone efficacy), proving its human PPAR.gamma. partial agonistic activity. I are useful for treating diabetes, atherosclerosis, hyperglycemia, dyslipidemia, obesity, syndrome X, insulin resistance, hypertension, neuropathy, microvascular diseases (e.g. retinopathy, nephropathy), macrovascular diseases (e.g. myocardial infarction, stroke, heart failure) in mammals. (no data).

L9 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2002:220534 CAPLUS Full-text

DOCUMENT NUMBER:

136:263165

TITLE:

Preparation of 1,2,3,4-tetrahydronaphthalenecarboxamid

e, 1,2,3,4-tetrahydroquinolinecarboxamide,

indanecarboxamides, thiochromancarboxamide, and chromancarboxamide derivatives as C5a receptor

antagonists and medicinal use thereof

INVENTOR(S):

Nakamura, Mitsuharu; Kamahori, Takao; Ishibuchi,

Seigo; Naka, Yoichi; Sumichika, Hiroshi; Itoh,

Katsuhiko

PATENT ASSIGNEE(S):

Mitsubishi Pharma Corporation, Japan

SOURCE:

PCT Int. Appl., 415 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE				
WO 2002022556	A1 20020321	WO 2001-JP7977	20010914				
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY, BZ,	CA, CH, CN,				
CO, CR, CU,	CZ, DE, DK, DM,	DZ, EC, EE, ES, FI, GB,	GD, GE, GH,				
GM, HR, HU,	ID, IL, IN, IS,	JP, KE, KG, KR, KZ, LC,	LK, LR, LS,				
LT, LU, LV,	MA, MD, MG, MK,	MN, MW, MX, MZ, NO, NZ,	PH, PL, PT,				
RO, RU, SD,	SE, SG, SI, SK,	SL, TJ, TM, TR, TT, TZ,	UA, UG, US,				
UZ, VN, YU,	ZA, ZW, AM, AZ,	BY, KG, KZ, MD, RU, TJ,	TM				
RW: GH, GM, KE,	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZW, AT,	BE, CH, CY,				
DE, DK, ES,	FI, FR, GB, GR,	IE, IT, LU, MC, NL, PT,	SE, TR, BF,				
BJ, CF, CG,	CI, CM, GA, GN,	GQ, GW, ML, MR, NE, SN,	TD, TG				
AU 2001088045	A5 20020326	AU 2001-88045	20010914				
CA 2422342	A1 20030313	CA 2001-2422342	20010914				
EP 1318140	A1 20030611	EP 2001-967682	20010914				
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,				
IE, SI, LT,	LV, FI, RO, MK,	CY, AL, TR					
US 2004138223	A1 20040715	US 2003-380502	20030508.				
PRIORITY APPLN. INFO.:		JP 2000-280540	A 20000914				

MARPAT 136:263165

GI

AB Amide derivs. represented by the following general formula [I; R1, R2, R3, R4 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl, or alkoxy, aryloxy, arylalkyloxy, (un)substituted acyloxy, halo, NO2, cyano, acyl SH, alkylthio, alkylsulfinyl, NH2, alkylamino, dialkylamino, cyclic amino, (un) substituted CONH2, alkoxycarbonyl, CO2h, acylamino, (un) substituted SO2NH2, haloalkyl; or any two of R1, R2, and R3 together with adjacent carbon atom form a ring; all a, b, c, d, and e is a carbon atom; or one or two of a, b, c, d, and e represent one or two nitrogen atom and the other represent C atoms; R4, R5, R6 = haloalkyloxy, groups listed in R1 - R4; A = H, (un) substituted cycloalkyl, aryl, heteroaryl, or cyclic amino; W1, W2 = a bond, (un) substituted C1-3 alkylene; Y = a single bond, O, CO, NR7, S, SO, SO2, CONR8, NR9CO (wherein R7, R8, R9 = H, (un)substituted alkyl); Z = a single bond, (un)substituted alkylene] or optically active isomers thereof or pharmaceutically acceptable salts thereof are prepd: These compds. are useful as preventives and remedies for diseases or syndromes caused by inflammation induced by C5a, e.g. immunol. diseases such as rheumatism and systemic lupus erythematosus, allergic diseases such as sepsis, adult respiratory distress syndrome, chronic obstructive pulmonary disease and asthma, atherosclerosis, heart infarction, brain infarction, psoriasis, Alzheimer's disease and important organistic breakdown (e.g. pneumonia, nephritis, hepatitis, pancreatitis) induced by leukocyte activation caused by ischemic reperfusion, burn or surgical invasion. Moreover, they are useful as preventives and remedies for infection with bacteria and viruses mediated by C5a receptor. Thus, to a soln. of 3.3 g 1,2,3,4-tetrahydronaphthalene-1carboxylic acid in 20 mL CH2Cl2 was added 2.1 mL SO2Cl2 and the resulting mixt. was refluxed for 3 h, concd. under reduced pressure, dissolved in 10 mL CH2Cl2, treated with a soln. of 5.1 g N-[(4-dimethylaminophenyl)methyl](4isopropylphenyl)amine in 10 mL CH2Cl2 under ice-cooling, warmed to room temp., and stirred overnight to give N-[(4-dimethylaminophenyl)methyl]-N-(4isopropylphenyl) - 1,2,3,4-tetrahydronaphthalene-1-carboxamide (II). II inhibited the binding of [1251] -human C5a receptor to human histiocystic lymphoma cell line (U-937) with IC50 of 104 nm/mL. A tablet, a capsule, an injection soln., and an eyedrop formulation contq. II were prepd.

REFERENCE COUNT:

10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2002:142660 CAPLUS Full-text

DOCUMENT NUMBER: 136:200179

TITLE: Preparation of N,N'-diarylurea derivatives as

complement receptor C5a antagonists

INVENTOR(S): Ishibuchi, Seigo; Sumichika, Hiroshi; Itoh, Katsuhiko;

Naka, Yoichi

PATENT ASSIGNEE(S):

Welfide Corporation, Japan

SOURCE:

GI

PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	PATENT NO.						KIND DATE				ICAT									
WO	2002	0142	65												20010810					
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑŻ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,			
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,			
		GM,	HR,	HU,	ID,	IL,	IN,	ΙŚ,	JP,	KE,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,			
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,			
		RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG.,	US,	UZ,			
		VN,	YU,	ZA,	ZW															
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZW,	AT,	BE,	CH,	CY,			
											LU,									
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG				
CA	2418	652			A1		2002	0221		CA 2	001-	2418	652		2	0010	810			
ΔU	2001	0777	51		A5 20020225					AU 2	001-	7775	20010810							
EP	1308	438			A1		2003	0507		EP 2	001-	9556	57		20010810					
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,			
• •	•	IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR									
US	2003	2079	39		A1		2003	1106		US 2	003-	3439	61		2	0030	205			
US	7105	567			B2		2006	0912												
PRIORITY	Y APP	LN.	INFO	. :						JP 2	000-	2432	90		A 2	0000	810			
•										WO 2	001-	JP69	02		W 2	0010	810			
OTHER SO	ER SOURCE(S):					MARPAT 136:2001											,			

$$R^2$$
 R^3
 R^4
 R^4
 R^5

N,N'-diarylurea derivs. represented by the following general formula [I; R1, R2, R3 = H, (un)substituted alkyl, cycloalkyl, alkenyl, or alkynyl, HO, (un)substituted alkoxy, SH, (un)substituted alkylthio, halo, NO2, cyano, amino, alkylamino, cyclic amino, alkylsulfonyl, CONH2, acylamino, sulfamoyl, acyl, CO2H, alkoxycarbonyl, (un)substituted aryl or heteroaryl; D = a bond, (un)substituted alkylene; A = (un)substituted alkyl, cycloalkyl, aryl, or heteroaryl; R4, R5 = H, (un)substituted alkyl or alkoxy, HO, halo; R6 = H, (un)substituted alkyl or alkoxy, HO, halo; X = O, S] or pharmaceutically acceptable salts thereof are prepd. Because of having a C5a receptor antagonism, these compds. are useful as remedies and preventives for diseases or syndromes induced by C5a, e.g. autoimmune diseases such as rheumatism and systemic lupus erythematosus, allergic diseases such as sepsis, adult respiratory distress syndrome, chronic obstructive pulmonary disease and asthma, atherosclerosis, cardiac infarction, brain infarction, psoriasis,

Alzheimer's disease and serious organ injuries by the activation of leukocytes caused by ischemia, trauma, burn, surgical invasion, etc. (for example, pneumonia, nephritis, hepatitis and pancreatitis). Moreover, these compds. are also useful as remedies and preventives for bacterial and viral infections mediated by C5a receptor. Thus, to a soln. of (4-isopropylphenyl)[[1-(4trifluoromethylbenzyl)pyrazol-4-yl]methyl]amine in toluene was added 2,6diisopropylphenyl isocyanate and stirred at room temp. overnight to give N'-(2,6-diisopropylphenyl)-N-(4-isopropylphenyl)-N-[[1-(4-trifluoromethylbenzyl)pyrazol-4-yl]methyl]urea. N'-(2,6- diisopropylphenyl)-N-[(4-dimethylaminophenyl)methyl]-N-(4- isopropylphenyl)urea 9/10 fumarate showed IC50 of 5 nmol/L for inhibiting the Ca2+ ion increase in C5a-simulated Pharmaceutical formulations, e.g. a capsule contg. N'-(2,6blood neutrophil. diisopropylphenyl)-N-[(4- dimethylaminophenyl)methyl]-N-(4-fluorophenyl)urea. THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS 10

REFERENCE COUNT:

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l8 ibib abs tot

ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2005:1026833 CAPLUS Full-text

DOCUMENT NUMBER: 143:326090

TITLE: Preparation of arylmethoxyphenyl-alkylcarboxylic acids

and related derivatives for use in treating metabolic

disorders

Akerman, Michelle; Houze, Jonathan; Lin, Daniel C. H.; INVENTOR (S):

Liu, Jiwen; Luo, Jian; Medina, Julio C.; Qiu, Wei; Reagan, Jeffrey D.; Sharma, Rajiv; Shuttleworth,

Stephen J.; Sun, Ying; Zhang, Jian; Zhu, Liusheng

Amgen Inc., USA; et al. PATENT ASSIGNEE(S): PCT Int. Appl., 163 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

							DATE			APPLICATION NO.						DATE			
WO		0866	61		A2	:	20050922			WO 2	005-1	US58	15		2				
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	·GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
	•	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,		
		SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		
		ΑZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,		
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,		
							BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,		
		•	•		TD,												•		
	2005									AU 2	005-:	2207	28		2	0050	224		
	2005										•								
	2558									CA 2						0050			
ΕP	1737									EP 2									
	R:		-	-			-			EE,	-		-	-					
		•				LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,	BA,		
		•	•	MK,											_			·	
CN	1946	666			Α	A 20070411 CN 2005-80012709 20050224													

US 2006004012	A1	20060105	US	2005-67377		20050225
MX 2006PA09793	Α	20061030	MX	2006-PA9793		20060828
US 2007142384	A1	20070621	US	2006-591214		20060828
NO 2006004362	Α	20061122	NO	2006-4362		20060926
PRIORITY APPLN. INFO.:			US	2004-548741P	P	20040227
			US	2004-601579P	P	20040812
			WO	2005-US5815	W	20050224

MARPAT 143:326090

GI

AB Title compds. Q-L1-P-L2-M-X-L3-A [Q = H, (hetero)aryl, alkyl, etc.; L1 = bond, alkylene, heteroalkylene, O, etc.; P = (hetero)arom., cycloalkylene, etc.; L2 = bond, alkylene, heteroalkylene, etc.; M = (hetero)arom., cycloalkylene; arylalkylene, etc.; X = divalent alkyl, (un)substituted-N; O, SOO-2; L3 = bond, alkylene, heteroalkylene, etc.; A = COOH, tetrazolyl, SO3H, PO3H2, etc.; I] are prepd. For instance, (S)-3-[4-((4'-trifluoromethyl-1,1'-biphenyl-3-yl)methoxy)phenyl]hexan-4-ynoic acid (II) is prepd. in 5 steps from (S)-3-(4-hydroxyphenyl)hexan-4-ynoic acid Me ester (prepn. given), 4-(trifluoromethyl)phenylboronic acid and 3-bromobenzoic acid. II has an EC50 < 0.1 .mu.M for human G protein-coupled receptor GPR40. I are useful for the treatment of type II diabetes.

L8 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2005:395278 CAPLUS Full-text

DOCUMENT NUMBER:

142:447209

TITLE:

Preparation of .alpha.-hydroxyimino-.beta.benzylpropanoate derivatives as PPAR.gamma. and

PPAR.alpha. agonists for the treatment of diabetes mellitus and inflammation diseases

INVENTOR (S):

Kim, Geun Tae; Koh, Jong Sung; Han, Hee Oon; Kim,
Seung Hae; Kim, Kyoung-Hee; Chung, Hee-Kyung; Kim,
Yeon Chul; Kim, Misun; Koo, Ki Dong; Yim, Hyeon Joo;
Hur, Gwong-Cheung; Lee, Sun Hwa; Lee, Chang-Seok; Woo,

Sung Ho

PATENT ASSIGNEE(S):

LG Life Sciences Ltd., S. Korea

SOURCE:

PCT Int. Appl., 211 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005040127	A1	20050506	WO 2004-KR2729	20041027

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG; ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG KR 2005040746 20050503 KR 2004-86055 20041027 PRIORITY APPLN. INFO.: KR 2003-75037 20031027 Α KR 2003-75041 Α 20031027 KR 2003-75046 Α 20031027

OTHER SOURCE(S):

MARPAT 142:447209

GI

AB Title compds. I [wherein A = (un) substituted (cyclo) alkyl, (hetero) aryl, amine, amido, alkoxy, sulfonyl or sulfanyl; B, D, X = H or alkyl; E = H, alkyl or aryl; and pharmaceutically acceptable nontoxic salts, physiol. hydrolyzable esters, hydrates, solvates, isomers or prodrugs thereof] were prepd. as agonists of peroxisome proliferator-activated receptor gamma (PPAR.gamma.) and alpha (PPAR.alpha.). For example, II was synthesized via etherification of the corresponding phenol (prepn. given) with methanesulfonate ester of the pyrazolemethanol (prepn. given) in 40% yield. I were found to be very effective for accelerating the activity of PPAR.gamma. and PPARa with EC50 values of <1 .mu.M and <1000 nM (<100 nM for II), resp. Therefore, I are useful for treating or preventing PPAR.gamma. and PPARa-related diseases, such as diabetes mellitus, its complications and inflammation.

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:995925 CAPLUS <u>Full-text</u>

5

DOCUMENT NUMBER:

141:424182

TITLE:

Preparation of pyrazole-amine compounds useful as kinase inhibitors

INVENTOR (S):

Dyckman, Alaric; Das, Jagabandhu; Leftheris, Katerina; Liu, Chunjian; Moquin, Robert V.; Wrobleski, Stephen

US 2006-477010

US 2003-467029P

US 2004-838006

WO 2004-US13786

20060628

20030501

20040503

A3 20040503

Р

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA

SOURCE:

PCT Int. Appl., 52 pp.

DOCUMENT TYPE:

Patent

CODEN: PIXXD2

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2004-US13786 WO 2004098528 A2 20041118 20040503 WO 2004098528 **A3** 20050714 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2004248853 20041209 US 2004-838006 A1 20040503 US 7151113 B2 20061219 US 2005004176 20050106 A1 US 2004-837778 20040503 US 2004-838129 US 2005159424 A1 20050721 EP 1620108 20060201 EP 2004-760705 A2 20040503 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

OTHER SOURCE(S):

US 2006247247

PRIORITY APPLN. INFO.:

MARPAT 141:424182

20061102

GI

A1

The title compds. I [G = Ph, pyridyl; W = CH2O, CO2, NHCHR8, CHR8NH, AB NHCO(CHR8)r (wherein R8 = H, alkyl; r = 0-2); R1 = H, (un)substituted alkyl, aryl, etc.; R2 = H, (un)substituted alkyl, alkoxy, etc.; R3 = H, CF3, OCF3, etc.; R4 = H, (un)substituted alkyl, halo, etc.; R5 = CF3, OCF3, CN, etc.; X = CONH, NHCO, NHCO2, SO2NH, CO2, or is absent; R6 = H, (un) substituted alkyl, alkoxy, etc.; m = 0-3], useful for treating p38 kinase-assocd. conditions

(such as inflammatory disorder) in a mammal (no data), were prepd. E.g., a 3-step synthesis of II, starting from 1-phenyl-5-propyl-1H-pyrazole-4-carbonyl chloride, was given.

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:606448 CAPLUS Full-text

DOCUMENT NUMBER: 141:

141:157111

TITLE:

Preparation of pyrazoles and analogs as PPAR modulators for treatment of metabolic disorders,

diabetes mellitus, atherosclerosis, and

cardiovascular disorders

INVENTOR(S):

SOURCE:

LANGUAGE:

Conner, Scott Eugene; Ma, Tianwei; Mantlo, Nathan Bryan; Mayhugh, Daniel Ray; Schkeryantz, Jeffrey

Michael; Warshawsky, Alan M.; Zhu, Guoxin

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA PCT Int. Appl., 214 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

FAMILY ACC. NUM. COUNT: 2

PATENT	NO.		KIND DATE			APPLICATION NO.						DATE			
												-			
							10 20	103-1	JS39.	119		20031231			
WO 2004	1063,166		A8							•					
₩:	AE, AG	G, AL,	AM,	AT, A	AU, AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
	CN, CO	O, CR,	CU,	CZ, I	DE, DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
	GE, G	H, GM,	HR,	HU,	ID, IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,	LC,	
•	LK, L	R, LS,	LT,	LU, 1	LV, MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	
	NZ, O	M, PG,	PH,	PL, I	PT, RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	
	TM, TI	N, TR,	TT,	TZ, t	UA, UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
RW:	BW, G	H, GM,	KΕ,	LS, N	MW, MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AM,	AZ,	
	BY, K	G, KZ,	MD,	RU,	TJ, TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
	ES, F	I, FR,	GB,	GR, I	HU, IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	
	TR, B	F, BJ,	CF,	CG, C	CI, CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
AU 2003	296404	•	A1	20	0040810	A	U 20	003-2	2964	04		2	0031	231	
EP 1589	733		A1	20	0051019	E	EP 20	03-8	81519	95		2	00312	231	
R:	AT, B	E, CH,	DE,	DK, I	ES, FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
					RO, MK,								·	,	
US 2006	241157		A1	20	0061026	Ü	JS 20	05-5	54034	11	·	2	0050	521	
PRIORITY APP	LN. IN	FO.:				U	JS 20	003-4	1385	53P	1	P 2	0030	106	
						P.	10 20) 03 -t	JS39:	119	7	W 2	00312	231	
OTHER SOURCE	E(S):		MAR	PAT 14	41:1571	11				•					

$$E-Y = \begin{vmatrix} R8 & R32 & R1 \\ \hline & V-U & Z3 \\ \hline & R9 & R11 & R10 \end{vmatrix}$$

AB Title pyrazoles, imidazoles, and (is)oxazoles I [wherein R1 = H, (un) substituted alkyl, alkenyl, (hetero) aryl (alkyl), arylheteroalkyl, cycloalkylaryl(alkyl); R2 = absent, (hetero)alkyl; R8 = H, alkyl, alkylenyl, halo; R9 = H, (un)substituted alkyl, alkylenyl, halo, aryl(alkyl), heteroaryl, allyl, alkoxy, alkylthio, etc.; R10, R11 = independently H, OH, CN, NO2, halo, oxo, (un) substituted (halo) alkyl, alkoxy, cycloalkyl, (hetero) aryl(alkyl), cycloalkylaryl(alkyl), aryloxy, acyl, carboxy, amino, sulfamoyl, etc.; R32 = bond, H, halo, (halo)alkyl, alkyloxo; E = (un)substituted carboxy(methyl), tetrazolyl(methyl), nitriloalkyl, carboxamido(methyl), sulfonamido(methyl); U = (un) substituted aliph. linker wherein one C of the linker is optionally replaced with O, NH, or S; X = bond, O, S, SO2, NH; Y = bond, CH2, NH; Z1, Z2 = independently N, O, C, whit the proviso that at least one of Z1 and Z2 = N; Z3 = N, O, C; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepd. as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, chlorination of [3-methyl-1-(4-trifluoromethylphenyl)-1H-pyrazol-4-yl]methanol with MeSO2Cl and TEA in CH2Cl2, followed by coupling with (4-hydroxy-2- methylphenoxy)acetic acid Me ester using Cs2CO3 in acetonitrile and sapon. with NaOH in MeOH provided II. I and their pharmaceutical compns. are expected to be effective in treating and preventing metabolic disorders, diabetes mellitus, atherosclerosis, and cardiovascular disorders (no data).

II

L8 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:430797 CAPLUS Full-text

DOCUMENT NUMBER: 141:7108

TITLE: Preparation of pyrazoles as modulators of peroxisome

proliferator activated receptors (PPARs), in

particular PPAR.gamma. agonists

INVENTOR(S): Huck, Jacques; Saladin, Regis; Sierra, Michael

PATENT ASSIGNEE(S): Carex SA, Fr.

SOURCE: PCT Int. Appl., 156 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2004043951 A1 20040527 WO 2003-EP311855 20031024

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MARPAT 141:7108

Ι

GI

$$R^2$$
 $N - (CH_2)_n$
 R^{12}

AB Title compds. I [wherein R1 = H, CF3, (un) substituted alkyl, cycloalkyl, heterocyclyl, etc.; R2 = (un)substituted alkyl, amino, COH, etc.; n = 0-6; R11 and R12 = independently H, alkyl, CO2H and derivs., OH and derivs., NH2 and derivs., etc.; their analogs, derivs., solvates or salts] were prepd. for modulating peroxisome proliferator activated receptors (PPARs), in particular as PPAR gamma. agonists, and for treating and/or preventing various diseases and conditions mediated by said nuclear receptors, including metabolic or cell proliferative disorders (no data). For example, 1-phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxaldehyde (prepn. given) was reacted with 1-(4methoxyphenyl)ethanone in isopropanol to give II in 67% yield. II inhibited adipocyte differentiation induced by rosiglitazone by about 68%, demonstrating its antagonistic activity towards human PPAR.gamma.. II induced adipocyte differentiation (25% of rosiglitazone efficacy), proving its human PPAR.gamma. partial agonistic activity. I are useful for treating diabetes, atherosclerosis, hyperglycemia, dyslipidemia, obesity, syndrome X, insulin resistance, hypertension, neuropathy, microvascular diseases (e.g.

retinopathy, nephropathy), macrovascular diseases (e.g. myocardial infarction, stroke, heart failure) in mammals. (no data).

ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2003:951003 CAPLUS Full-text

DOCUMENT NUMBER:

140:16723

TITLE:

possible interfers Preparation of 1,2-azole derivatives with hypoglycemic 10/517,214

102e/102A,

and hypolipidemic activity

INVENTOR (S):

Maekawa, Tsuyoshi; Hara, Ryoma; Odaka, Hiroyuki; Kimura, Hiroyuki; Mizufune, Hideya; Fukatsu, Kohji

PATENT ASSIGNEE(S):

Takeda Chemical Industries, Ltd., Japan; Takeda

Pharmaceutical Company Limited

SOURCE:

PCT Int. Appl., 564 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PA	PATENT NO.)	DATE				JICAT:			DATE				
WO	2003	0997	93		A1 20031204 A8 20041229 A9 20050210			. 1					2	0030	522			
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OTHER SOURCE(S):					MARI	140:	1672	3										

AB 1,2-Azole derivs. A-B-Xa-Ya-Xb-Yb-C-Xc-Yc-C(:0)-R (I; e.g. II) wherein ring A optionally has 1-3 substituents; ring B is a 1,2-azole ring which may further have 1 to 3 substituents; Xa, Xb and Xc are the same or different and each is a bond, -O-, -S- and the like; Ya is a divalent aliph. hydrocarbon residue having 1-20 C atoms; Yb and Yc are the same or different and each is a bond or a divalent aliph. hydrocarbon residue having 1-20 C atoms; ring C is a monocyclic arom. ring which may further have 1 to 3 substituents; and R = -OR4 (R4 is H atom or (un) substituted hydrocarbon group) and the like, or a salt thereof or a prodrug thereof is useful as an agent for the prophylaxis or treatment of diabetes and the like. Hypoglycemic and hypolipidemic actions in mice are tabulated for about 50 examples of I; e.g. a 53 % rate of decrease in blood glucose level in the presence of 0.005 % [2-[3-[3-isopropy]-1-[5-(trifluoromethyl)-2-pyridinyl]-1H-pyrazol-4-yl]propoxy]-3- methylphenyl]acetic acid and a 77 % rate of decrease in blood triglyceride level in the presence of 0.005 % 2-methyl-2-[4-[3-methyl-1-[5- (trifluoromethyl)-2-pyridyl]-1Hpyrazol-4-ylmethoxy]phenoxy]propionic acid when the level (glucose or triglyceride) of the non-treated group is taken as 100 %. Plasma antiarteriosclerosis index-enhancing action in mice is tabulated for 34 examples of I, e.g. 25 % for [3-methoxy-2-[3-[3-propyl-1- [5-(trifluoromethyl)-2pyridyl]-1H-pyrazol-4-yl]propoxy]phenyl]acetic acid. PPAR.qamma.-RXR.alpha. and PPAR.delta.-RXR.alpha. heterodimer ligand activity is tabulated for 59 and 80 examples, resp., of I, e.g. EC50 = 3.8 nM for PPAR.gamma.-RXR.alpha. for [2-[3-[3-cyclohexyl-1-[5- (trifluoromethyl)-2-pyridinyl]-1H-pyrazol-4yl]propoxy]-3- methylphenyl]acetic acid. Nearly 400 example prepns. of I and 351 example prepns. of intermediates are included. For example, [4-[3-[3-[4-(trifluoromethyl)phenyl]-5-isoxazolyl]propoxy]phenyl]acetic acid was obtained in 25 % yield from a mixt. of 3-[3-[4-(trifluoromethyl)phenyl]-5- isoxazolyl]-1-Pr methanesulfonate, NaI, Me 2-(4-hydroxyphenyl)acetate, K2CO3 and DMF; details of the prepn. of the mesylate are also given.

REFERENCE COUNT:

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2005:1026833 CAPLUS Full-text

19

DOCUMENT NUMBER:

143:326090

TITLE:

Preparation of arylmethoxyphenyl-alkylcarboxylic acids

and related derivatives for use in treating

metabolic disorders

INVENTOR(S):

Akerman, Michelle; Houze, Jonathan; Lin, Daniel C. H.; Liu, Jiwen; Luo, Jian; Medina, Julio C.; Qiu, Wei;

Reagan, Jeffrey D.; Sharma, Rajiv; Shuttleworth, Stephen J.; Sun, Ying; Zhang, Jian; Zhu, Liusheng Amgen Inc., USA; et al. PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 163 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND APPLICATION NO. DATE DATE ----WO 2005086661 A2 20050922 WO 2005-US5815 20050224 WO 2005086661 A3 20060504 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, XA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, &F, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, . MR, NE, SN, TD, TG AU 2005220728 A2 20050922 AU 2005-220728 20050224 AU 2005220728 Α1, 20050922 CA 2558585 X1 20050922 CA 2005-2558585 20050224 20070103 EP 1737809 A2 EP 2005-723623 20050224 AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, ZI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV/MK, YU CN 1946666 Α 20070411 CN 2005-80012709 20050224 US 200600401/2 US 2005-67377 **A1** 20060105 20050225 MX 2006PA0/9793 Α 20061030 MX 2006-PA9793 20060828 US 200714/2384 20070621 A1 US 2006-591214 20060828 NO 2006,004362 20061122 NO 2006-4362 20060926 PRIORITY APPLN. INFO.: US 2004-548741P 20040227 US 2004-601579P Р 20040812 WO 2005-US5815 20050224 OTHER SOURCE(S): MARPAT 143:326090 GI

AB Title compds. Q-L1-P-L2-M-X-L3-A [Q = H, (hetero)aryl, alkyl, etc.; L1 = bond, alkylene, heteroalkylene, O, etc.; P = (hetero)arom., cycloalkylene, etc.; L2 = bond, alkylene, heteroalkylene, etc.; M = (hetero)arom., cycloalkylene,

arylalkylene, etc.; X = divalent alkyl, (un)substituted-N; O, SOO-2; L3 = bond, alkylene, heteroalkylene, etc.; A = COOH, tetrazolyl, SO3H, PO3H2, etc.; I] are prepd. For instance, (S)-3-[4-((4'-trifluoromethyl-1,1'-biphenyl-3yl)methoxy)phenyl]hexan-4-ynoic acid (II) is prepd. in 5 steps from (S)-3-(4hydroxyphenyl)hexan-4-ynoic acid Me ester (prepn. given), 4-(trifluoromethyl)phenylboronic acid and 3-bromobenzoic acid. II has an EC50 < 0.1 .mu.M for human G protein-coupled receptor GPR40. I are useful for the treatment of type II diabetes.

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:606448 CAPLUS Full-text

DOCUMENT NUMBER:

141:157111

TITLE:

Preparation of pyrazoles and analogs as PPAR

modulators for treatment of metabolic

disorders, diabetes mellitus, atherosclerosis,

and cardiovascular disorders

INVENTOR (S):

Conner, Scott Eugene; Ma, Tianwei; Mantlo, Nathan Bryan; Mayhugh, Daniel Ray; Schkeryantz, Jeffrey

Michael; Warshawsky, Alan M.; Zhu, Guoxin

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA

SOURCE:

PCT Int. Appl., 214 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.									APPL:	ICAT:	ION I	NO.	DATE					
	- -					-					- -							
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WO	2004	0631	66		A8		2005	0303										
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OTHER SOURCE(S):					MARI	PAT	141:	1571	11									

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$$E-Y = \begin{bmatrix} R8 & R32 & R1 \\ \hline & V-U & Z1 & Z2 \end{bmatrix} R^2 = \begin{bmatrix} R10 & R10 \\ \hline & R11 & R11 \end{bmatrix}$$

AB Title pyrazoles, imidazoles, and (is)oxazoles I [wherein R1 = H, (un) substituted alkyl, alkenyl, (hetero) aryl(alkyl), arylheteroalkyl, cycloalkylaryl(alkyl); R2 = absent, (hetero)alkyl; R8 = H, alkyl, alkylenyl, halo; R9 = H, (un) substituted alkyl, alkylenyl, halo, aryl(alkyl), heteroaryl, allyl, alkoxy, alkylthio, etc.; R10, R11 = independently H, OH, CN, NO2, halo, oxo, (un) substituted (halo) alkyl, alkoxy, cycloalkyl, (hetero) aryl(alkyl), cycloalkylaryl(alkyl), aryloxy, acyl, carboxy, amino, sulfamoyl, etc.; R32 = bond, H, halo, (halo)alkyl, alkyloxo; E = (un)substituted carboxy(methyl), tetrazolyl(methyl), nitriloalkyl, carboxamido(methyl), sulfonamido(methyl); U = (un) substituted aliph. linker wherein one C of the linker is optionally replaced with O, NH, or S; X = bond, O, S, SO2, NH; Y = bond, CH2, NH; Z1, Z2 = independently N, O, C, whit the proviso that at least one of Z1 and Z2 = N; Z3 = N, O, C; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepd. as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, chlorination of [3-methyl-1-(4-trifluoromethylphenyl)-1H-pyrazol-4-yl]methanol with MeSO2Cl and TEA in CH2Cl2, followed by coupling with (4-hydroxy-2- methylphenoxy)acetic acid Me ester using Cs2CO3 in acetonitrile and sapon. with NaOH in MeOH provided II. I and their pharmaceutical compns. are expected to be effective in treating and preventing metabolic disorders, diabetes mellitus, atherosclerosis, and cardiovascular disorders (no data).

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